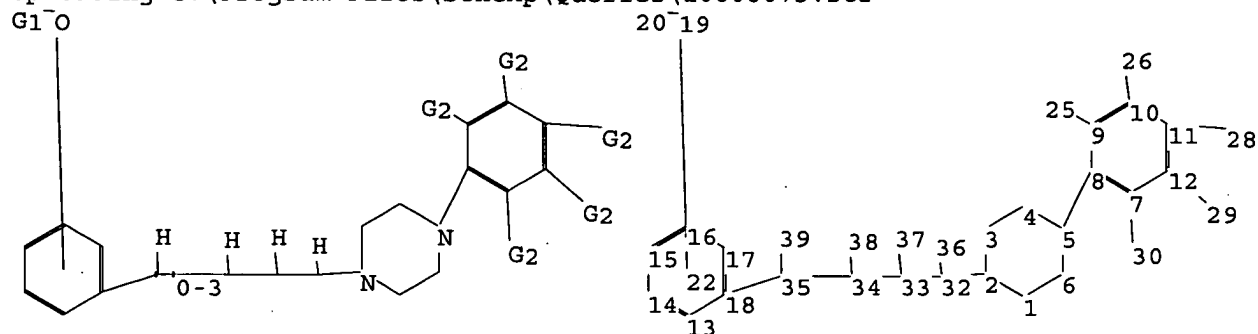


10/608073

=>

Uploading C:\Program Files\Stnexp\Queries\10608073.str



chain nodes :

19 20 25 26 28 29 30 32 33 34 35 36 37 38 39

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

2-32 5-8 7-30 9-25 10-26 11-28 12-29 18-35 19-20 32-33 32-36 33-34
33-37 34-35 34-38 35-39

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18

exact/norm bonds :

1-2 1-6 2-3 2-32 3-4 4-5 5-6 5-8 7-30 9-25 10-26 11-28 12-29 19-20

exact bonds :

18-35 32-33 32-36 33-34 33-37 34-35 34-38 35-39

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 7 : 13 :

G1:CH3,Et,n-Pr,i-Pr

G2:NH2,NO2,H,X

G3:H,OH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 22:CLASS 25:CLASS 26:CLASS 28:CLASS 29:CLASS 30:CLASS 32:CLASS
33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS

L12 STRUCTURE UPLOADED

10/608073

=> s l12

SAMPLE SEARCH INITIATED 13:49:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 545 TO ITERATE

100.0% PROCESSED 545 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9500 TO 12300
PROJECTED ANSWERS: 2 TO 124

L13 2 SEA SSS SAM L12

=> s l12 sss full

FULL SEARCH INITIATED 13:51:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10593 TO ITERATE

100.0% PROCESSED 10593 ITERATIONS 63 ANSWERS
SEARCH TIME: 00.00.01

L14 63 SEA SSS FUL L12

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	373.15	376.30

FILE 'CAPLUS' ENTERED AT 13:51:25 ON 30 JUN 2004
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FILE COVERS 1907 - 30 Jun 2004 VOL 141 ISS 1
FILE LAST UPDATED: 29 Jun 2004 (20040629/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

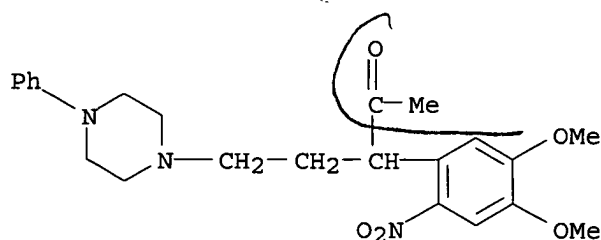
=> s l14

L15 30 L14

=> d l15 1-30 bib abs hitstr

10/608073

L15 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:835618 CAPLUS
DN 139:6776
TI Product class 11: 2,1-benzisoxazoles and related compounds
AU Smalley, R. K.
CS Germany
SO Science of Synthesis (2002), 11, 337-382
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review discusses the different methods to synthesize 2,1-benzisoxazoles and other related compds. Covered reactions include thermal decomposition, oxidation, electrolytic reduction, substitution, alkylation, condensation, rearrangement, and reductive cyclization.
IT 38014-94-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2,1-benzisoxazoles via cyclization of 2-nitrobenzyl derivs.)
RN 38014-94-7 CAPLUS
CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

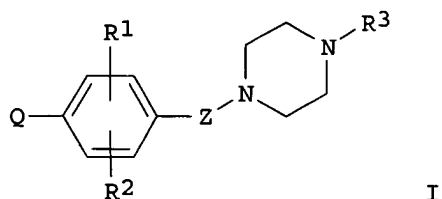


RE.CNT 257 THERE ARE 257 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/608073

L15 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:192024 CAPLUS
DN 134:231863
TI Piperazines and TNF- α formation inhibitors and/or IL-10 formation enhancers containing them
IN Adachi, Kunitomo; Hanano, Atsushi; Hisadome, Tadao; Fukuda, Akiko
PA Welfide KK, Japan
SO Jpn. Kokai Tokkyo Koho, 54 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001072660	A2	20010321	JP 1999-253914	19990908
PRAI	JP 1999-253914		19990908		
OS	MARPAT 134:231863				
GI					



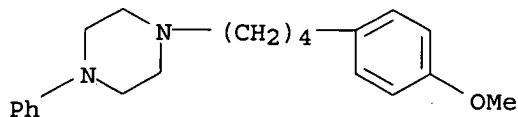
AB Piperazines I [Q = XY, heterocyclyl; X = (un)substituted amino, etc.; Y = single bond, alkylene; Z = alkylene, etc.; R1, R2 = halo, alkyl, amino, NO2, OH; R3 = lower alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl] or their salts are useful for TNF- α formation inhibitors and/or IL-10 formation enhancers for treatment of autoimmune diseases. Lipopolysaccharide-induced TNF- α formation in mice was reduced to 10% (as compared to controls) by administration of N-[4-[3-(4-phenylpiperazin-1-yl)propyl]phenylmethyl]acetamide at 10 mg/kg p.o. Preparation procedures for the piperazines and formulation examples are given.

IT **330199-86-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazines for TNF- α formation inhibitors and IL-10 formation enhancers for autoimmune disease treatment)

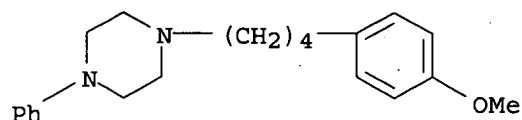
RN 330199-86-5 CAPLUS

CN Piperazine, 1-[4-(4-methoxyphenyl)butyl]-4-phenyl- (9CI) (CA INDEX NAME)



10/608073

L15 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:54871 CAPLUS
DN 134:237062
TI Parallel synthesis of tertiary amines using polystyrene sulfonyl chloride
(PS-TsCl) resin
AU Hu, Yonghan; Gooding, Owen W.; Labadie, Jeff W.; Miller, Wendy; Porco,
John A., Jr.
CS Argonaut Technologies, San Carlos, CA, 94070, USA
SO Proceedings of ECSOC-1: The First International Electronic Conference on
Synthetic Organic Chemistry; [and] Proceedings of ECSOC-2: The Second
International Electronic Conference on Synthetic Organic Chemistry, Sept.
1-30, 1997, 1998 (1999), Meeting Date 1997-1998, 140-144. Editor(s): Lin,
Shu-Kun; Pombo-Villar, Esteban. Publisher: Molecular Diversity
Preservation International, Basel, Switz.
CODEN: 69ASBO
DT Conference; (computer optical disk)
LA English
OS CASREACT 134:237062
AB A focused library of tertiary amines was synthesized by reacting alcs.
with polystyrene sulfonyl chloride resin to give polystyrene sulfonates,
which were then reacted with secondary amines to give tertiary amines.
IT **330199-86-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(parallel synthesis of tertiary amines using polystyrene sulfonyl
chloride resin)
RN 330199-86-5 CAPLUS
CN Piperazine, 1-[4-(4-methoxyphenyl)butyl]-4-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:815373 CAPLUS

DN 132:165762

TI A Structure-Affinity Relationship Study on Derivatives of
N-[2-[4-(4-Chlorophenyl)piperazin-1-yl]ethyl]-3-methoxybenzamide, a
High-Affinity and Selective D4 Receptor Ligand

AU Perrone, Roberto; Berardi, Francesco; Colabufo, Nicola A.; Leopoldo,
Marcello; Tortorella, Vincenzo

CS Dipartimento Farmaco-Chimico, Universita di Bari, Bari, 70126, Italy

SO Journal of Medicinal Chemistry (2000), 43(2), 270-277

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB N-[2-[4-(4-Chlorophenyl)piperazin-1-yl]ethyl]-3-methoxybenzamide, a
high-affinity and selective dopamine D4 receptor ligand, was chosen as a
lead, and structural modifications were done on its amide bond and on its
alkyl chain linking the benzamide moiety to the piperazine ring and by
preparing some semirigid analogs. The binding profile at dopamine D4 and
dopamine D2, serotonin 5-HT1A, and adrenergic $\alpha 1$ receptors of 16 new
comps. was determined. From the results emerged that the modification of the
amide bond and the elongation of the intermediate alkyl chain caused a
decrease in dopamine D4 receptor affinity. All prepared semirigid analogs
displayed D4 receptor affinity values in the same range of the opened
counterparts.

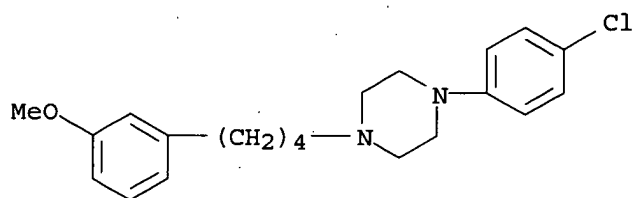
IT 258882-56-3P 258882-71-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)

(preparation of derivs. of [[[chlorophenyl]piperazinyl]ethyl]methoxybenzamid
e as selective D4 receptor ligand)

RN 258882-56-3 CAPLUS

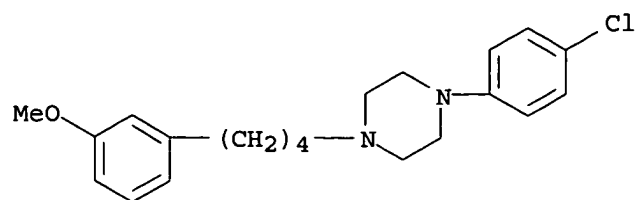
CN Piperazine, 1-(4-chlorophenyl)-4-[4-(3-methoxyphenyl)butyl]- (9CI) (CA
INDEX NAME)



RN 258882-71-2 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[4-(3-methoxyphenyl)butyl]-,
dihydrochloride (9CI) (CA INDEX NAME)

10/608073



● 2 HCl

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:849163 CAPLUS

DN 123:256498

TI Preparation of (benzoheteroaryl)methylguanidine calcium- and/or sodium-channel blockers

IN Lucchetti, Jean; Rinaldi, Murielle; Pialot, Francoise; Merschaert, Alain

PA Sanofi, Fr.

SO PCT Int. Appl., 132 pp.

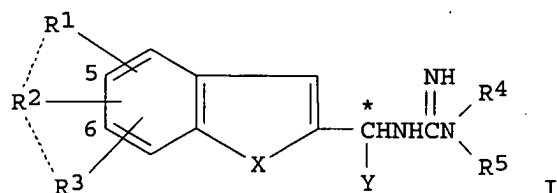
CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9504052	A1	19950209	WO 1994-FR962	19940728
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2708609	A1	19950210	FR 1993-9362	19930729
	FR 2708609	B1	19951020		
	AU 9473870	A1	19950228	AU 1994-73870	19940728
	ZA 9405597	A	19960129	ZA 1994-5597	19940728
	EP 711290	A1	19960515	EP 1994-923764	19940728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09500895	T2	19970128	JP 1994-505627	19940728
	HU 75118	A2	19970428	HU 1996-179	19940728
PRAI	FR 1993-9362		19930729		
	WO 1994-FR962		19940728		
OS	MARPAT 123:256498				
GI					



AB 0The title compds. [I; R1-R3 = H, halogen, alkyl, alkoxy, Ph, PhCH2; R4, R5 = H, C6-12 alkyl, benzhydryl, (un)substituted aralkyl, etc; X = O, S, (un)substituted NH; Y = (un)substituted heterocyclic or 2,3-dihydro heterocyclic residue; R1-R3 = C4-6 cyclic hydrocarbon including the C atoms at positions 5 and 6; * = asym. C] [e.g., 1-[2-methoxy-5-[4-(N-hexamethyleneimino)butyl]phenyl]-1-(2-benzofuryl)methylguanidine benzoate; m.p. 135°], useful as sodium- and/or calcium-channel blockers (no data) for the treatment of a variety of claimed diseases (no data), are prepared

IT 168821-77-0P 168821-95-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (benzoheteroaryl)methylguanidine calcium- and sodium-channel blockers)

RN 168821-77-0 CAPLUS

CN Guanidine, [2-benzofuranyl[2-methoxy-5-[4-(4-phenyl-1-

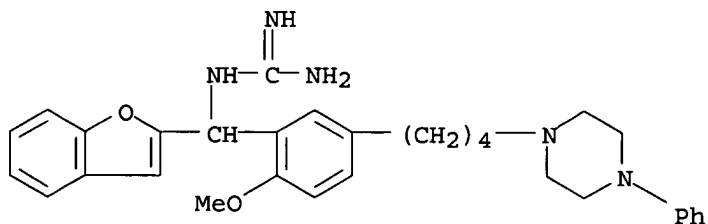
10/608073

piperazinyl]butyl]phenyl]methyl]-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

CRN 168821-76-9

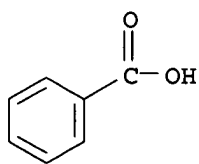
CMF C31 H37 N5 O2



CM 2

CRN 65-85-0

CMF C7 H6 O2



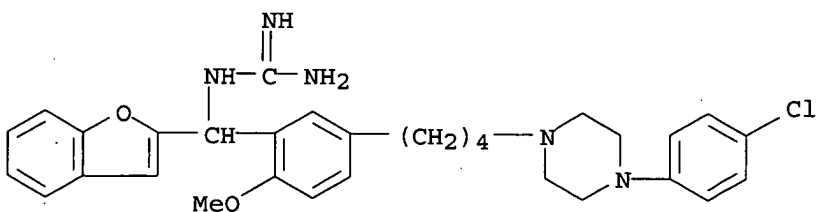
RN 168821-95-2 CAPLUS

CN Carbonic acid, compd. with [2-benzofuranyl[5-[4-[4-(4-chlorophenyl)-1-piperazinyl]butyl]-2-methoxyphenyl]methyl]guanidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 168821-94-1

CMF C31 H36 Cl N5 O2

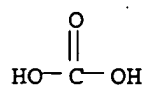


CM 2

CRN 463-79-6

CMF C H2 O3

10/608073



L15 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:20955 CAPLUS

DN 116:20955

TI Preparation of isoquinoline-5-sulfonamides and analogs as blood vessel relaxants

IN Hidaka, Hiroyoshi; Ishikawa, Tomohiko; Hagiwara, Masatoshi; Inoue, Tsutomu; Naitoh, Kenji; Sakuma, Osamu; Yuasa, Masayuki; Morita, Tadashi; Toshioka, Tadashi; et al.

PA Tobishi Pharmaceutical Co., Ltd., Japan

SO Ger. Offen., 86 pp.

CODEN: GWXXBX

DT Patent

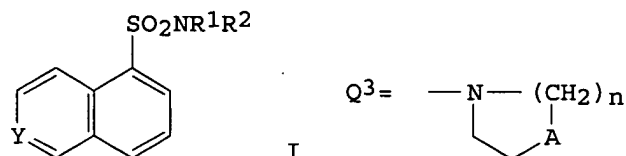
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3942114	A1	19900628	DE 1989-3942114	19891220
	DE 3942114	C2	19970904		
	CA 2005741	AA	19900626	CA 1989-2005741	19891215
	CA 2005741	C	19980602		
	JP 02256666	A2	19901017	JP 1989-325959	19891218
	JP 2886225	B2	19990426		
	SE 8904261	A	19900627	SE 1989-4261	19891219
	SE 503081	C2	19960318		
	US 5081246	A	19920114	US 1989-453623	19891220
	DE 3943678	C2	19991125	DE 1989-3943678	19891220
	GB 2228933	A1	19900912	GB 1989-28895	19891221
	GB 2228933	B2	19930331		
	CH 680441	A	19920831	CH 1989-4647	19891221
	DK 8906662	A	19900627	DK 1989-6662	19891222
	FR 2640973	A1	19900629	FR 1989-17091	19891222
	FR 2640973	B1	19920327		
	NL 8903143	A	19900716	NL 1989-3143	19891222
	NL 193726	B	20000403		
	NL 193726	C	20000804		
	ES 2029759	A6	19920901	ES 1989-4335	19891222
	AT 8902935	A	19940215	AT 1989-2935	19891222
	CN 1044098	A	19900725	CN 1989-109843	19891226
	CN 1025618	B	19940810		
	JP 03007262	A2	19910114	JP 1990-11719	19900123
	JP 3048590	B2	20000605		
	JP 03047170	A2	19910228	JP 1990-52686	19900306
	JP 3078295	B2	20000821		
	US 5216150	A	19930601	US 1991-758808	19910912
	GB 2248235	A1	19920401	GB 1991-22595	19911024
	GB 2248235	B2	19930331		
	US 5245034	A	19930914	US 1992-856178	19920323
	CN 1074214	A	19930714	CN 1992-115101	19921230
	CN 1028638	B	19950531		
	NL 9900004	A	19990901	NL 1999-4	19990517
	NL 194549	B	20020301		
	NL 194549	C	20020702		
PRAI	JP 1988-325910	A	19881226		
	JP 1989-76419	A	19890330		
	JP 1989-87868	A	19890410		
	DE 1989-3942114	A3	19891220		
	US 1989-453623	A3	19891220		
	GB 1989-28895	A3	19891221		
	NL 1989-3143	A3	19891222		
	CN 1989-109843	A	19891226		

10/608073

US 1991-758808 A3 19910912
OS MARPAT 116:20955
GI



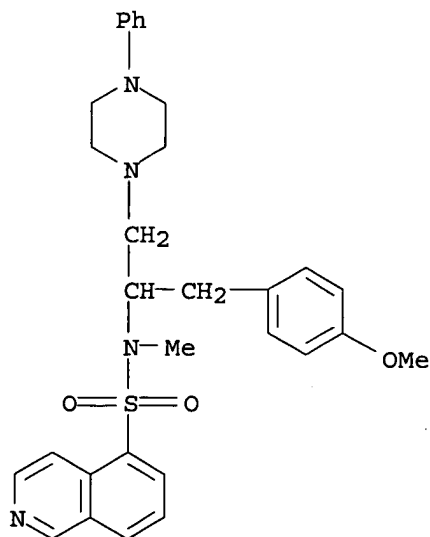
AB The title compds. [I; R1 = H, CHO, (halophenyl)propargyl, (un)substituted alkyl, aralkyl, Ph; R2 = WNR3CHR4XmQ1, CH(CR12R13R)CH2Q2, W = alkylene, (un)substituted phenylenediyl, or a combination of these; R3 = R1; R1R3 = alkylene; R4 = H, alkyl; X = CH:CH, C.tplbond.C; Q1, Q2 = (un)substituted Ph, naphthyl, heterocyclyl; R12, R13 = H; R12R13 = O; R = Q3; A = CO, (un)substituted CH2, NH, etc.; R1R3 = alkylene; Y = N, CH, CMe; m, n = 1-3] were prepared. Thus, I (R1 = H, Y = N) (II; R2 = CH2CH2NH2) was stirred 1 h with 4-ClC6H4CH:CHCHO in MeOH after which NaBH4 was added and stirring continued 30 min to give II (R2 = CH2CH2NR5CH2CH:CHC6H4Cl-4) (III; R5 = H) which was methylated to give III (R5 = Me). The latter had EC50 of 0.19 μ M for relaxation of rabbit aorta strips in vitro.

IT 130962-62-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as blood vessel relaxant)

RN 130962-62-8 CAPLUS

CN 5-Isoquinolinesulfonamide, N-[1-[(4-methoxyphenyl)methyl]-2-(4-phenyl-1-piperazinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



10/608073

L15 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:166782 CAPLUS

DN 102:166782

TI ω -Piperazinopropylbenzene derivatives

PA Sumitomo Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 12 pp.

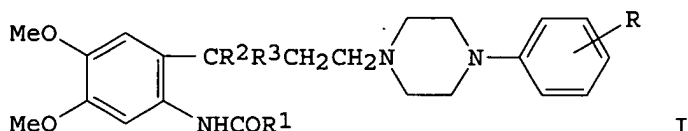
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59193880	A2	19841102	JP 1983-68794	19830418
PRAI	JP 1983-68794		19830418		
OS	CASREACT 102:166782				
GI					



AB ω -Piperazinopropylbenzene derivs. I [R = H, alkyl, alkoxy, halo; R1 = H, (polyhalo)alkyl, Ph, pyridyl; R2 = OH, O2CR4 (R4 = alkyl); R3 = H; R2R3 may be O] were prepared and used as antipsychotics (data shown in rats by anti-climbing action test and anti-stereotype action test in comparison with haloperidol and chlorpromazine). Thus, 2.1 mL AcCl in Et2O was added to a mixture of 5.54 g 4',5'-dimethoxy-2'-amino-3-(4-phenyl-1-piperazinyl)propionophenone and 6.3 mL Et3N in THF with ice cooling to give, after 1 h, I (R = H, R1 = Me, R2R3 = O) (yield not described).

IT 96010-92-3P 96010-94-5P 96010-96-7P

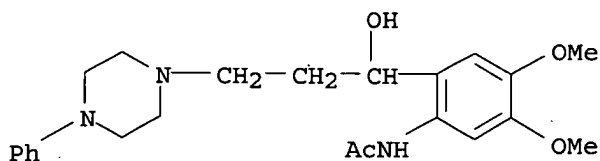
96010-97-8P 96010-98-9P 96010-99-0P

96011-01-7P 96011-03-9P 96011-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 96010-92-3 CAPLUS

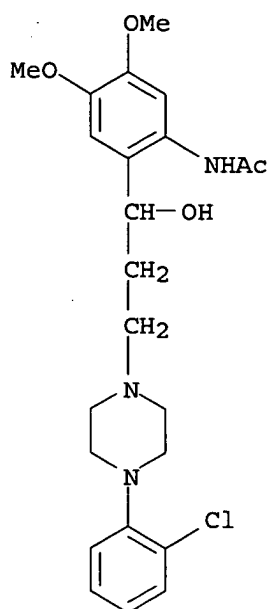
CN Acetamide, N-[2-[1-hydroxy-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 96010-94-5 CAPLUS

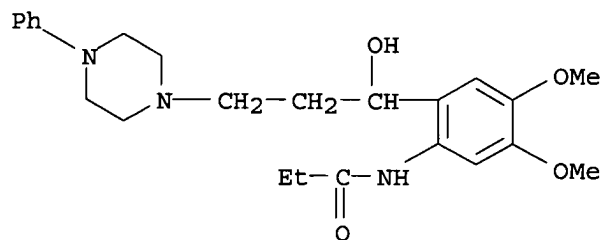
CN Acetamide, N-[2-[3-[4-(2-chlorophenyl)-1-piperazinyl]-1-hydroxypropyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

10/608073



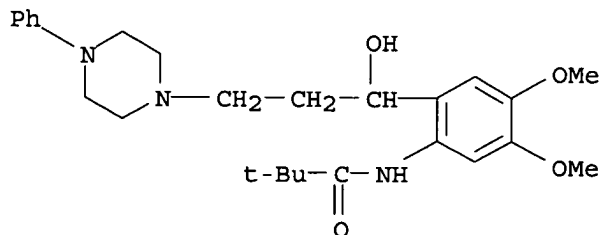
RN 96010-96-7 CAPLUS

CN Propanamide, N-[2-[1-hydroxy-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 96010-97-8 CAPLUS

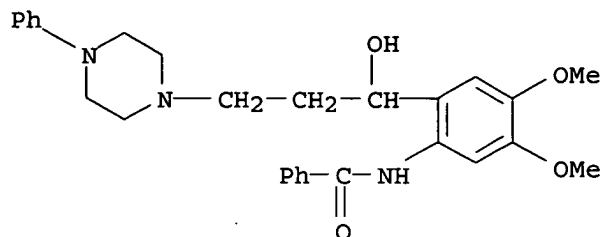
CN Propanamide, N-[2-[1-hydroxy-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 96010-98-9 CAPLUS

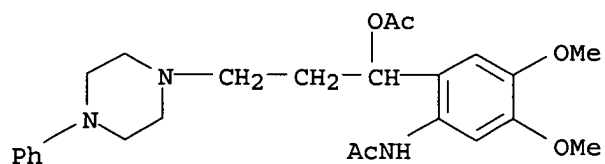
CN Benzamide, N-[2-[1-hydroxy-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

10/608073



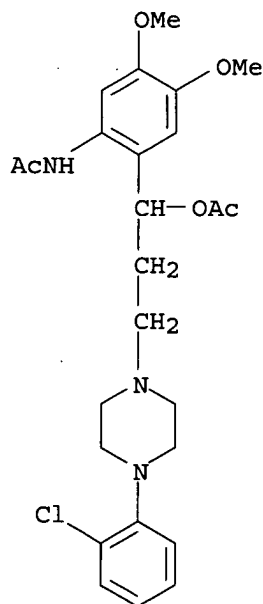
RN 96010-99-0 CAPLUS

CN Acetamide, N-[2-[1-(acetyloxy)-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl] - (9CI) (CA INDEX NAME)



RN 96011-01-7 CAPLUS

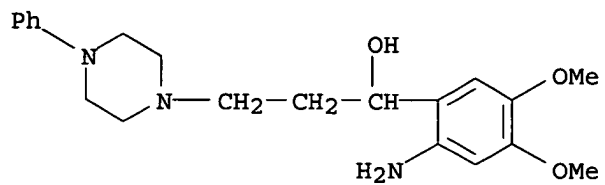
CN Acetamide, N-[2-[1-(acetyloxy)-3-[4-(2-chlorophenyl)-1-piperazinyl]propyl]-4,5-dimethoxyphenyl] - (9CI) (CA INDEX NAME)



RN 96011-03-9 CAPLUS

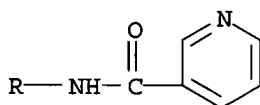
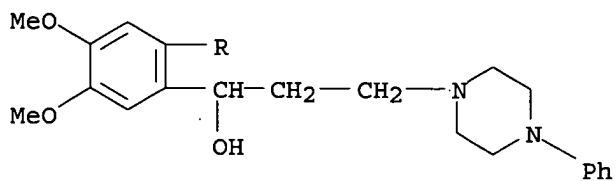
CN 1-Piperazinepropanol, α-(2-amino-4,5-dimethoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

10/608073



RN 96011-05-1 CAPLUS

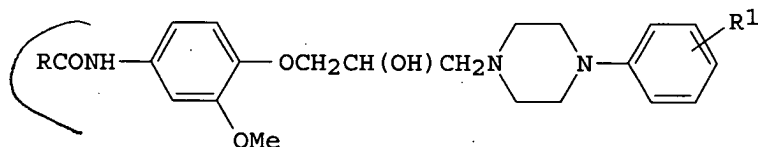
CN 3-Pyridinecarboxamide, N-[2-[1-hydroxy-3-(4-phenyl-1-piperazinyl)propyl]-4,5-dimethoxyphenyl] - (9CI) (CA INDEX NAME)



10/608073

L15 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:592385 CAPLUS
DN 95:192385
TI A pharmaceutical composition for use in raised intracranial pressure
IN Kanno, Takeshi; Gaino, Mitsunori; Yoshimoto, Kenichi; Shintomi, Keiichi
PA Tanabe Seiyaku Co., Ltd. , Japan
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 31925	A1	19810715	EP 1980-107871	19801212
	EP 31925	B1	19830706		
	R: CH, DE, FR, GB, NL				
	JP 56097227	A2	19810805	JP 1979-173823	19791228
	JP 62019405	B4	19870428		
	US 4307097	A	19811222	US 1980-213946	19801208
	BE 886873	A1	19810624	BE 1980-203330	19801224
PRAI	JP 1979-173823		19791228		
OS	CASREACT 95:192385				
GI					



AB Pharmaceutical injectable solns. for use in reducing intracranial pressure comprise an aqueous solution of I (R = C1-4 alkyl; R1= H, halo, Me, or CF3) their

salts and a compound such as D-mannitol [69-65-8] that will allow adjustment of the osmotic pressure of the parenteral solution to that of blood plasma. Thus, the effectiveness of an injection solution prepd from 1-(4-acetamido-2-methoxyphenoxy)-3-[4-(3-fluorophenyl)piperazino]-2-propanol-HCl [79403-71-7] in aqueous 5% D-mannitol was demonstrated in rats and Beagle dogs. 1-(4-Acetamido-2-methoxyphenoxy)-3-(4-phenylpiperazine)-2-propanol [66978-17-4] was prepared from 3-(4-acetamido-2-methoxyphenoxy)-1,2-epoxypropane [79403-68-2] and 4-phenylpiperazine [92-54-6].

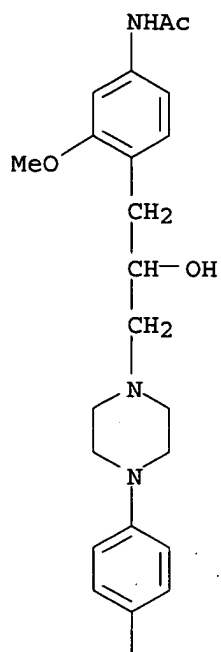
IT 79403-70-6

RL: BIOL (Biological study)
(injectable solution, for reduction of intracranial pressure)

RN 79403-70-6 CAPLUS

CN Acetamide, N-[4-[3-[4-(4-fluorophenyl)-1-piperazinyl]-2-hydroxypropyl]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

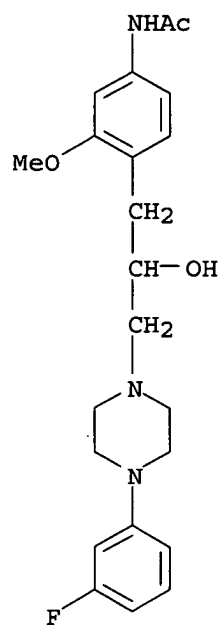


PAGE 2-A

F

IT	79403-69-3P
	RL: PREP (Preparation)
	(preparation of, for injectable solns. for intracranial pressure reduction)
RN	79403-69-3 CAPLUS
CN	Acetamide, N-[4-[3-[4-(3-fluorophenyl)-1-piperazinyl]-2-hydroxypropyl]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

10/608073



10/608073

L15 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1978:509444 CAPLUS

DN 89:109444

TI Benzisoxazole derivatives

PA Sumitomo Chemical Co., Ltd., Japan

SO Brit., 7 pp.

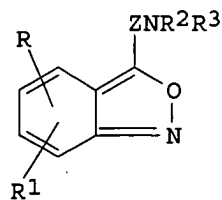
CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1502384	A	19780301	GB 1975-27200	19750627
	JP 51004168	A2	19760114	JP 1974-75544	19740701
	JP 58026348	B4	19830602		
	JP 51125073	A2	19761101	JP 1974-88556	19740731
	JP 58026349	B4	19830602		
	ZA 7503936	A	19760526	ZA 1975-3936	19750619
	NO 7502245	A	19760105	NO 1975-2245	19750624
	NO 142910	B	19800804		
	NO 142910	C	19801112		
	CA 1051430	A1	19790327	CA 1975-230174	19750625
	SE 7507365	A	19760102	SE 1975-7365	19750626
	FI 7501890	A	19760102	FI 1975-1890	19750626
	FI 59586	B	19810529		
	FI 59586	C	19810910		
	DK 7502941	A	19760102	DK 1975-2941	19750627
	HU 173527	P	19790628	HU 1975-SU894	19750627
	FR 2276820	A1	19760130	FR 1975-20521	19750630
	AT 7504986	A	19771115	AT 1975-4986	19750630
	ES 439014	A1	19780301	ES 1975-439014	19750630
	CH 612189	A	19790713	CH 1975-8490	19750630
	BE 830870	A1	19760102	BE 1975-157873	19750701
	NL 7507835	A	19760105	NL 1975-7835	19750701
	AU 7582641	A1	19770106	AU 1975-82641	19750701
	SU 626695	D	19780930	SU 1975-2150552	19750701
	US 4122176	A	19781024	US 1976-755139	19761229
	US 4217349	A	19800812	US 1978-919221	19780626
PRAI	JP 1974-75544		19740701		
	JP 1974-88556		19740731		
	US 1975-590149		19750625		
	US 1976-755139		19761229		
OS	CASREACT 89:109444				
GI					



AB The preparation is described of benzisoxazoles I (R, R1 = H, halo, C1-4 alkyl, C1-4 alkoxy, aryl-C1-3 alkoxy, CF3; RR1 = C1-2 alkylenedioxy; Z = C1-4 alkylene; R2, R3 = C1-4 alkyl, C3-4 alkenyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-3 alkyl, aryl, aryl-C1-3 alkyl; NR2R3 = heterocycle). I

10/608073

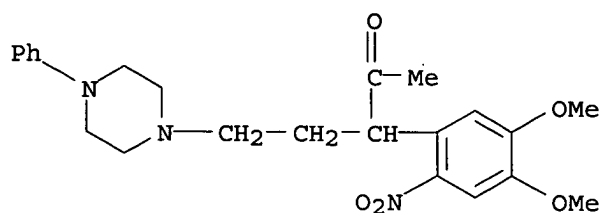
(NR2R3 = piperazine moiety) generally shown central nervous system depressing, muscle relaxing, neuroleptic, vasodilating, and antiasthmatic activities (no data). I (R = C1-4 alkoxy; R2, R3 = C1-4 alkyl) generally inhibit blood platelet aggregation (no data). Thus, I [R = 5-MeO, R1 = 6-MeO, Z = (CH2)2, NR2R3 = 4-phenylpiperazino] was prepared from 1-(2-nitro-4,5-dimethoxyphenyl)-1-[2-(4-phenylpiperazino)ethyl]propan-2-one by stirring with Me3COH-PhMe-K for 4 h at room temperature. Twenty-three I were prepared.

IT 38014-94-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 38014-94-7 CAPLUS

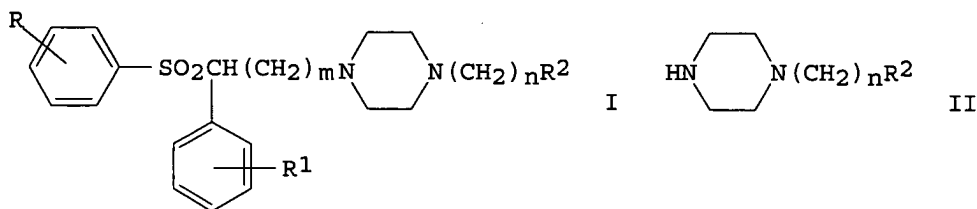
CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



10/608073

L15 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:406343 CAPLUS
DN 89:6343
TI Piperazine derivatives
IN Hasegawa, Gen; Ohe, Takanori; Kitami, Chiaki
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53007691	A2	19780124	JP 1976-82376	19760709
	JP 61002665	B4	19860127		
PRAI	JP 1976-82376		19760709		
GI					



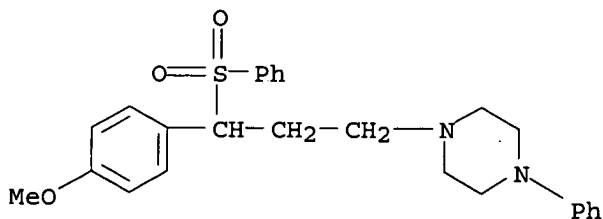
AB Twenty piperazine derivs. I [R, R₁ = H, halo, alkyl, alkoxy, F₃C; R₂ = H, OH (un)substituted Ph, pyridyl, 2-thienyl, 2-pyrimidyl; m = 2-3; n = 0-2] and their acid salts were prepared by reaction of RC₆H₄SO₂CHC₆H₄R₁ (CH₂)_mX (X = active ester group, e.g., halo)] with II. Thus, a mixture of PhSO₂CHPh(CH₂)₃Cl 6.16, II (R₂ = Ph, n = 0) 4.25, and Na₂CO₃ 3.5 g in DMF was refluxed 23 h to give, after treatment with (CO₂H)₂, 6 g I oxalate (R = R₁ = H, R₂ = Ph, m = 3, n = 0). The analgesic data of I were given by phenylquinone method and dental pulp stimulating method in male rats and rabbits, resp.

IT 62089-69-4P

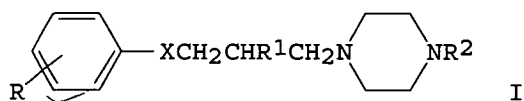
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 62089-69-4 CAPLUS

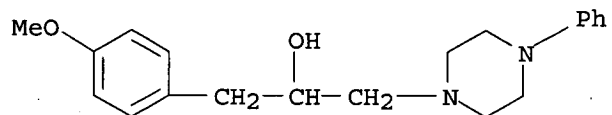
CN Piperazine, 1-[3-(4-methoxyphenyl)-3-(phenylsulfonyl)propyl]-4-phenyl-
(9CI) (CA INDEX NAME)



L15 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1978:406302 CAPLUS
 DN 89:6302
 TI 3-Tertiary amino-1-aryloxy- or aryl-propanes and -propan-2-ols and some related compounds
 AU Gupta, R. C.; Mukerji, S.; Chatterjee, S. K.; Rastogi, Nivas; Anand, Nitya; Dube, M. P.; Sur, R. N., Jr.; Mukerji, K. C.; Srimal, R. C.
 CS Cent. Drug Res. Inst., Lucknow, India
 SO Arzneimittel-Forschung (1978), 28(2), 241-6
 CODEN: ARZNAD; ISSN: 0004-4172
 DT Journal
 LA English
 GI



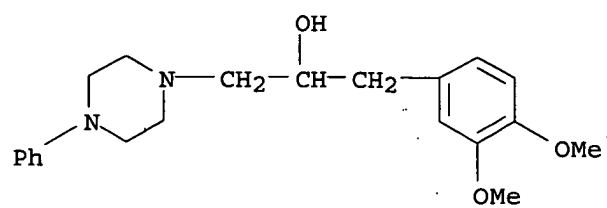
AB Piperazine I (R = H, 3-Me, 4-Cl, 2-CHMe2, 2-CF3, 3-CF3, 3,4-OCH2O, 3,4-(OMe)2, 4-OMe, 3-OMe, 2-OMe, 3,4-Cl2, 2-F, 3-F, 4-F, 4-Me; R3 = H, Oh, OAc, OZF; R2 = NEt2, NPr2, piperidino, 2-iminodihydropyridyl, 4-iminodihydropyridyl, 2-aminopyridino, morpholino, Ph, substituted Ph, Me; X = O, S, NH, NAc, NMe, bond) (74 compds) were prepared e.g., by aminating epoxides. They had central nervous system depressant, analgesic, antiadrenergic, spasmolytic, antihistaminic, antiinflammatoary, antipyretic, and antihypertensive activity.
 IT 66307-53-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and pharmacol. of)
 RN 66307-53-7 CAPLUS
 CN 1-Piperazineethanol, α -[(4-methoxyphenyl)methyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

IT 66307-17-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 66307-17-3 CAPLUS
 CN 1-Piperazineethanol, α -[(3,4-dimethoxyphenyl)methyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

10/608073



● 2 HCl

L15 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1978:37781 CAPLUS

DN 88:37781

TI Benzisoxazole derivatives

IN Tamoto, Katsumi; Katsube, Junki; Kobayashi, Tsuyoshi; Takebayashi, Yoshiaki; Sasajima, Kikuo; Inaba, Shigeho; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.

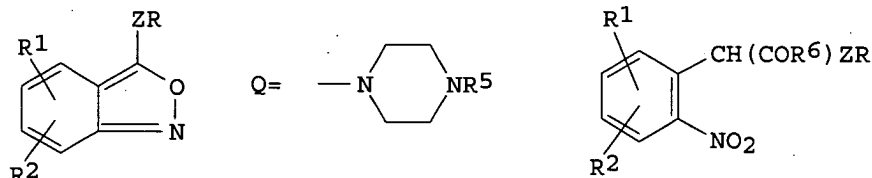
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52083741	A2	19770712	JP 1976-321	19760101
	JP 58009102	B4	19830218		
PRAI	JP 1976-321		19760101		
GI					



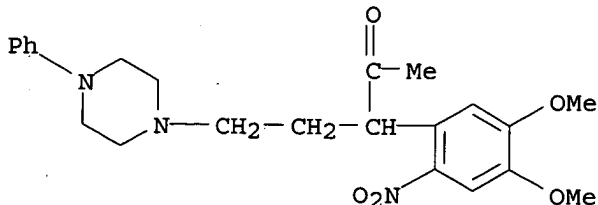
AB Twenty-three title derivs. I [R = NR₃R₄ (R₃, R₄ = alkyl, alkenyl, cycloalkyl, aryl, aralkyl; R₃R₄ may form a ring), Q (R₅ = alkyl, alkenyl, aryl, aralkyl); R₁, R₂ = H, alkyl, alkoxy, halo, CF₃; Z = alkylene] were prepared by cyclization of II (R₆ = alkyl). I had blood platelet anticoagulating and central nervous system depressing activities (no data). Thus, 12.6 g 1-(2-nitro-4,5-dimethoxyphenyl)-1-[2-(4-phenyl-piperazinyl)ethyl]propan-2-one was added to a mixture of 36 mL tert-BuOH and 1.8 g K in PhMe with ice cooling and the whole stirred 4 h at room temperature to give 7 g 3-[2-(4-phenyl-1-piperazinyl)ethyl]-5,6-dimethoxybenz-2,1-isoxazole.

IT 38014-94-7

RL: RCT (Reactant); RACT (Reactant or reagent).
(cyclization of, benzisoxazole derivative from)

RN 38014-94-7 CAPLUS

CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

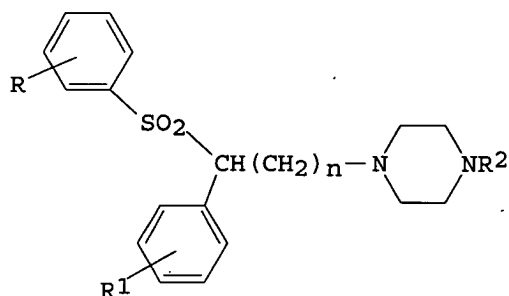


10/608073

L15 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1977:140088 CAPLUS
DN 86:140088
TI Piperazine compounds
IN Hasegawa, Gen; Kitami, Chiaki; Oe, Takanori
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2625054	A1	19761223	DE 1976-2625054	19760603
	JP 52005767	A2	19770117	JP 1975-67324	19750603
	JP 60026783	B4	19850625		
	GB 1494605	A	19771207	GB 1976-22674	19760601
	FR 2313061	A1	19761231	FR 1976-16876	19760603
	FR 2313061	B1	19790928		
	US 4122178	A	19781024	US 1976-692395	19760603
PRAI	JP 1975-67324		19750603		

GI



I

AB Piperazines (I; R = H, Cl; R₁ = H, 2-Cl, 4-Cl, 4-Me, 4-MeO; R₂ = e.g. Ph, 2-ClC₆H₄, 2-EtC₆H₄, 3-F₃CC₆H₄, 4-MeOC₆H₄, HOCH₂CH₂, Me, 4-pyridinylmethyl, 2-thienyl, 2-pyrimidinyl, n = 2,3), useful as analgesics, are prepared by standard procedures. Thus, reaction of 6.16 g (PhSO₂)PhCHCH₂CH₂CH₂Cl with 4.25 g 1-phenylpiperazine in DMF in presence of Na₂CO₃ gives after 23 h reflux 6 g I.oxalate (R = R₁ = H, R₂ = Ph, n = 3).

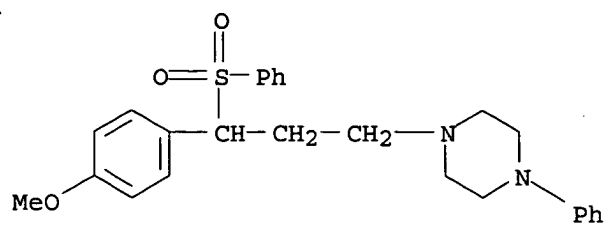
IT 62089-69-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 62089-69-4 CAPLUS

CN Piperazine, 1-[3-(4-methoxyphenyl)-3-(phenylsulfonyl)propyl]-4-phenyl-
(9CI) (CA INDEX NAME)

10/608073

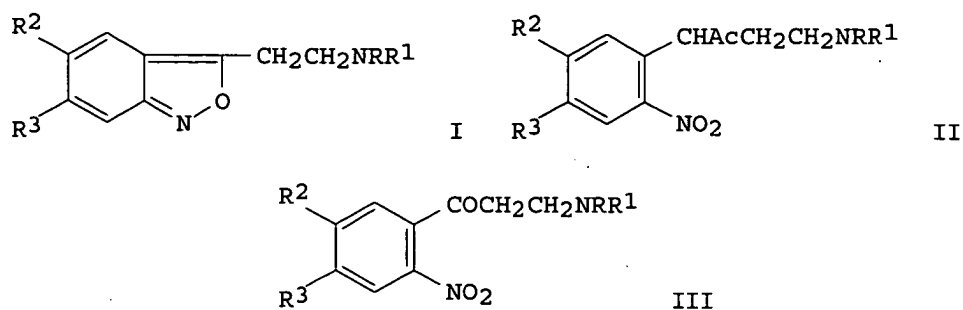


10/608073

L15 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1976:135627 CAPLUS
DN 84:135627
TI Benzisoxazoles
IN Katsube, Junki; Kobayashi, Tsuyoshi; Tamoto, Katsumi; Takebayashi,
Yoshiaki; Sasajima, Kikuo; Inaba, Shigeho; Yamamoto, Hisao
PA Sumitomo Chemical Co., Ltd., Japan
SO Ger. Offen., 19 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2529292	A1	19760122	DE 1975-2529292	19750701
	JP 51004168	A2	19760114	JP 1974-75544	19740701
	JP 58026348	B4	19830602		
	JP 51125073	A2	19761101	JP 1974-88556	19740731
	JP 58026349	B4	19830602		
	ZA 7503936	A	19760526	ZA 1975-3936	19750619
	NO 7502245	A	19760105	NO 1975-2245	19750624
	NO 142910	B	19800804		
	NO 142910	C	19801112		
	CA 1051430	A1	19790327	CA 1975-230174	19750625
	SE 7507365	A	19760102	SE 1975-7365	19750626
	FI 7501890	A	19760102	FI 1975-1890	19750626
	FI 59586	B	19810529		
	FI 59586	C	19810910		
	DK 7502941	A	19760102	DK 1975-2941	19750627
	HU 173527	P	19790628	HU 1975-SU894	19750627
	FR 2276820	A1	19760130	FR 1975-20521	19750630
	AT 7504986	A	19771115	AT 1975-4986	19750630
	ES 439014	A1	19780301	ES 1975-439014	19750630
	CH 612189	A	19790713	CH 1975-8490	19750630
	BE 830870	A1	19760102	BE 1975-157873	19750701
	NL 7507835	A	19760105	NL 1975-7835	19750701
	AU 7582641	A1	19770106	AU 1975-82641	19750701
	SU 626695	D	19780930	SU 1975-2150552	19750701
	US 4122176	A	19781024	US 1976-755139	19761229
	US 4217349	A	19800812	US 1978-919221	19780626
PRAI	JP 1974-75544		19740701		
	JP 1974-88556		19740731		
	US 1975-590149		19750625		
	US 1976-755139		19761229		

GI



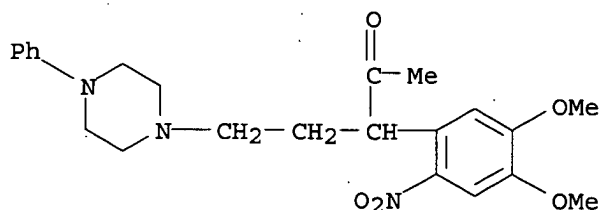
AB Benzisoxazoles I (NRR1 = 4-phenylpiperazino, NMe2, NEt2, piperidino, morpholino, pyrrolidino, 4-methylpiperazino, NPr2; R2, R3 = H, OMe; R2R3 = OCH2O; R2 = OEt, R3 = H; R2 = H, R3 = F) (23 compds.) were prepared by cyclizing II with KOCMe3 or H2SO4 or by a reductive cyclization of III with SnCl2-HCl, Na2S, or Pd-BaSO4. I (NRR1 = substituted piperazino) are tranquilizers and antiasthmatics, and I (R and R1 = alkyl, R2 or R3 = OMe) are platelet aggregation inhibitors (no data).

IT 38014-94-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 38014-94-7 CAPLUS

CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



L15 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:465944 CAPLUS

DN 79:65944

TI Synthesis of 1,3-diaryl- and 1,2,3-triaryl-3-(tertiary amino)propan-1-ones and the corresponding propan-1-ols, and of 3,5-diaryl-3-hydroxyvaleric acid amides and esters and some related compounds

AU Lal, Bansi; Khanna, J. M.; Anand, Nitya

CS Cent. Drug. Res. Inst., Lucknow, India

SO Indian Journal of Chemistry (1973), 11(5), 442-6

CODEN: IJOCAP; ISSN: 0019-5103

DT Journal

LA English

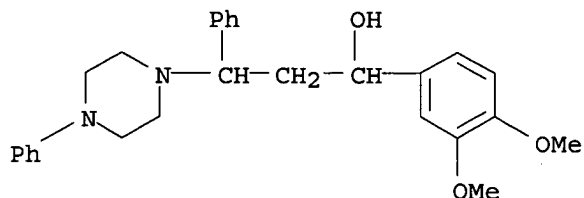
AB 1,3-Diaryl- and 1,2,3-triaryl-3-tertiary-amino-1-propanones were prepared and reduced with NaBH₄ to give the corresponding diastereoisomeric propanols in almost equal proportions. The two diastereoisomers were separated in the case of 1-(p-fluorophenyl)-3-phenyl-3-(N4-phenylpiperazinyl)-1-propanol, and on the basis of NMR spectra possible conformations were proposed for them. A number of 1,3-diaryl-1-tertiary-aminopropanes and β-tertiary-aminoethyl esters and tertiary-aminoamides of 1-hydroxy-3,5-diphenylvaleric acid were also prepared. These compds. were bioevaluated in a number of tests and, except for anorexic activity of 1,3-diphenyl-3-(N4-phenylpiperazinyl)-1-propanone, none showed any noteworthy activity.

IT 49747-87-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 49747-87-7 CAPLUS

CN 1-Piperazinepropanol, α-(3,4-dimethoxyphenyl)-γ,4-diphenyl-
(9CI) (CA INDEX NAME)



L15 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:58463 CAPLUS

DN 78:58463

TI Piperazine derivatives

IN Kaneko, Kenichi; Nagata, Shoji; Inaba, Shigeho; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 47038986	B4	19721206	JP 1971-25959	19710420
	JP 49015273		19740000	JP	

GI For diagram(s), see printed CA Issue.

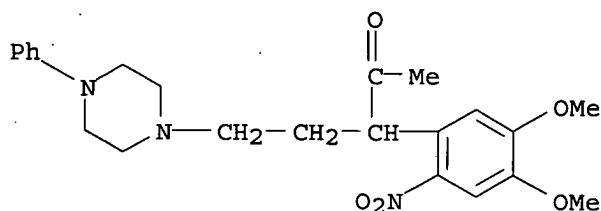
AB The title compds. (I), central nerve system stimulants and analgesics, were prepared from nitrophenyl compds. (II) with phenylpiperazine (III). Thus, II (X = Ac, Y = Cl) in EtOH was heated 3 hr with III in the presence of K₂CO₃ to give I (X = Ac). Similarly prepared was I (X = CN) from II (X = CN, Y = o-tosyloxy).

IT 38014-94-7 38014-95-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(analgesic)

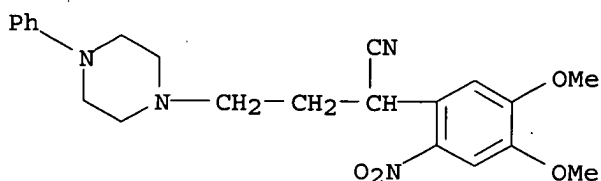
RN 38014-94-7 CAPLUS

CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)



RN 38014-95-8 CAPLUS

CN 1-Piperazinebutanenitrile, α-(4,5-dimethoxy-2-nitrophenyl)-4-phenyl-
(9CI) (CA INDEX NAME)



10/608073

L15 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:58461 CAPLUS

DN 78:58461

TI 1-[2-(4-Phenyl-1-piperazinyl)ethyl]-1-(2-nitro-4,5-dimethoxyphenyl)acetonitrile

IN Kaneko, Shinichi; Nagata, Shoji; Inaba, Shigeho; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 47038984	B4	19721206	JP 1971-25956	19710420
	JP 49015272		19740000	JP	

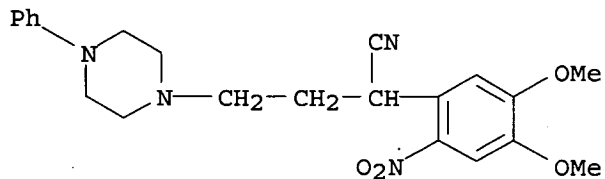
AB The title compound, central nervous system stimulant and analgesic drug, was prepared by heating 2-nitro-4,5-dimethoxyphenylacetonitrile in DMF with NaH in PhMe at 40° for 1.5 hr followed by heating with 2-(4-phenyl-1-piperazinyl)ethyl bromide 4 hr more at 70°.

IT 38014-95-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 38014-95-8 CAPLUS

CN 1-Piperazinebutanenitrile, α -(4,5-dimethoxy-2-nitrophenyl)-4-phenyl-
(9CI) (CA INDEX NAME)



L15 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:564758 CAPLUS

DN 77:164758

TI 1-(3-Indolylalkyl)piperazines

PA Sumitomo Chemical Co., Ltd.

SO Fr. Demande, 17 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2102282	A5	19720407	FR 1971-28729	19710805
	FR 2102282	B1	19750801		
	JP 48021948	B4	19730702	JP 1970-79141	19700908
	CA 965097	A1	19750325	CA 1971-119329	19710728
	DE 2138865	A1	19730222	DE 1971-2138865	19710803
	CH 564552	A	19750731	CH 1971-11492	19710804
	GB 1326833	A	19730815	GB 1971-37551	19710810
	BE 771285	A1	19711216	BE 1971-107022	19710813
	NL 7111186	A	19720217	NL 1971-11186	19710813
	AT 310154	B	19730925	AT 1971-7122	19710813
PRAI	JP 1970-71611		19700815		
	JP 1970-74404		19700824		
	JP 1970-79141		19700908		
	JP 1970-98942		19701109		

GI For diagram(s), see printed CA Issue.

AB The piperazinoethylindoles (I, R = H, Me; R1 = H, 2-Me, 2-OEt, 2-Cl, 2-, 3-, 4-OMe; R2 = H, R3 = H, OMe; R2 = R3 = OMe; (R2R3) = CH2O2) were prepared by cyclizing 3-(o-nitrophenyl)-propylpiperazines. Thus, 4.2 g 1-(2-p-tolylsulfonyloxyethyl)-1-(2-nitro-4,5-dimethoxyphenyl)acetonitrile was treated with 1.8 g phenylpiperazine to give 1.5 g 1-[2-(4-phenylpiperazino)ethyl]-1-(2-nitro-4,5-dimethoxyphenyl)acetonitrile (II). Reduction of 2 g II with Pd/C, followed by alkaline cyclization, gave 1 g I (R

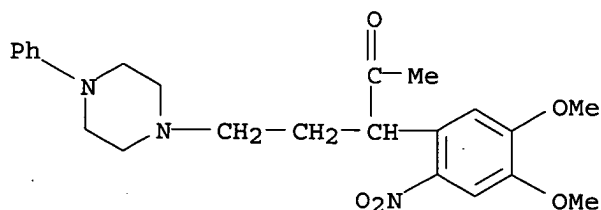
=

Me, R1 = H, R2 = R3 = OMe).

IT 38014-94-7P 38014-95-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

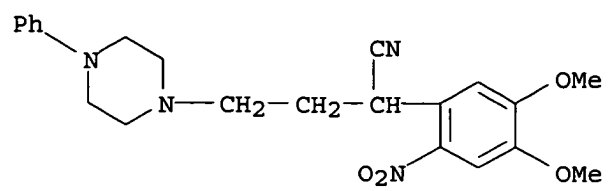
RN 38014-94-7 CAPLUS

CN 2-Pentanone, 3-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

RN 38014-95-8 CAPLUS

CN 1-Piperazinebutanenitrile, α -(4,5-dimethoxy-2-nitrophenyl)-4-phenyl-
(9CI) (CA INDEX NAME)

10/608073



L15 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:413887 CAPLUS

DN 77:13887

TI Synthesis and central nervous system activity of new piperazine derivatives. 4

AU Fernandez, J. A.; Bellare, R. A.; Deliwala, C. V.; Dadkar, N. K.; Sheth, U. K.

CS Dep. Chemother., Haffkine Inst., Bombay, India

SO Journal of Medicinal Chemistry (1972), 15(4), 417-19

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 77:13887

AB A few of 20 piperazine derivs. synthesized showed central nervous depressant activity. Thus, N1-[2-(3,4,5-trimethoxycinnamoyl)ethyl]-N4-(o-fluorophenyl)piperazine (I) [34988-39-1] was depressant at 50 mg/kg and had an LD50 of 800 mg/kg i.p. in mice. I also had mild hypotensive and adrenergic blocking activity. N1-(2-cinnamoyl)ethyl)-N4-(2-pyridyl)piperazine [34959-90-5] had very specific adrenolytic activity at 2.5 mg/kg. The compds. were prepared by Mannich reaction of 3,4,5-trimethoxy- or unsubstituted benzalacetone and various N-monosubstituted piperazines.

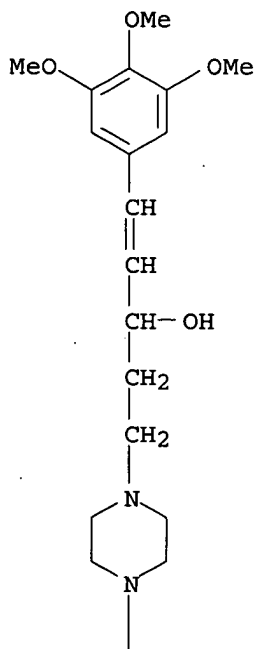
IT 37151-52-3 37151-53-4

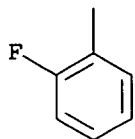
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(central nervous system response to)

RN 37151-52-3 CAPLUS

CN 1-Piperazinepropanol, 4-(2-fluorophenyl)- α -[2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

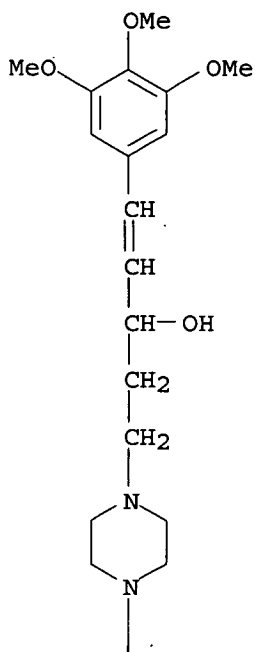
PAGE 1-A





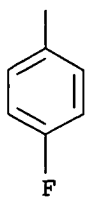
●2 HCl

RN 37151-53-4 CAPLUS
CN 1-Piperazinepropanol, 4-(4-fluorophenyl)- α -[2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



10/608073

PAGE 2-A



●2 HCl

10/608073

L15 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:449140 CAPLUS

DN 75:49140

TI Substituted piperazinobutanols

IN Molnar, Istvan; Jahn, Ulrich; Adrian, Rudolf

PA Siegfried A.-G.

SO Patentschrift (Switz.), 4 pp.

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 503039	A	19710215	CH 1968-503039	19681128

PRAI CH 1968-17721 19681128

GI For diagram(s), see printed CA Issue.

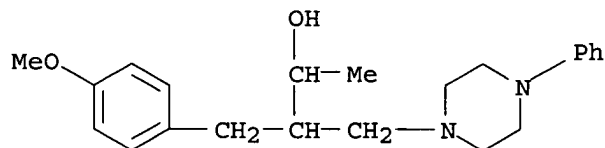
AB The title compds. (I, X1, X2, Y1, Y2 are H, halo, alkyl, aralkyl, alkoxy, or amino) had cough-suppressant, cholesterol-lowering, and sedative effects. I were prepared by reduction of keto amides with LiAlH_4 . Thus, diketene and 4-phenylpiperazine gave the 4-phenylpiperazide of acetoacetic acid, which was converted to the 4-phenylpiperazide of 2-benzylacetoacetic acid. This was reduced with LiAlH_4 to I (all substituents are H).

IT 32935-20-9P 32935-21-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

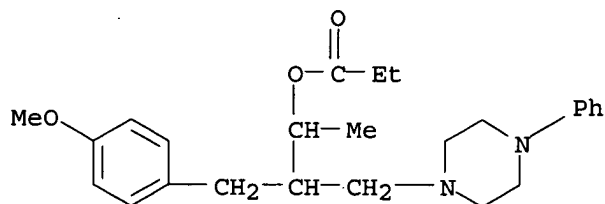
RN 32935-20-9 CAPLUS

CN 1-Piperazinepropanol, β -(p-methoxybenzyl)- α -methyl-4-phenyl-,
(8CI) (CA INDEX NAME)



RN 32935-21-0 CAPLUS

CN 1-Piperazinepropanol, β -(p-methoxybenzyl)- α -methyl-4-phenyl-,
propionate (ester) (8CI) (CA INDEX NAME)



L15 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:449136 CAPLUS

DN 75:49136

TI 1-Hydroxy- and 1-oxo-1-phenyl (or heteroaryl)-4-(1-piperazinyl)butanes

IN Yamamoto, Hisao; Nakao, Masaru; Sasjima, Kikuo; Maruyama, Isamu; Katayama, Shigenari

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 23 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2053759	A	19710527	DE 1970-2053759	19701102
	NL 7016097	A	19710506	NL 1970-16097	19701103
	FR 2073326	A1	19711001	FR 1970-39549	19701103
	FR 2073326	A5	19711001		
	AT 301553	B	19720911	AT 1970-9896	19701103
	AT 302333	B	19721010	AT 1971-9388	19701103
	GB 1294720	A	19721101	GB 1970-1294720	19701103
	SU 420178	D	19740315	SU 1970-1495560	19701103
	CH 557823	A	19750115	CH 1970-16374	19701104
	CH 559740	A	19750314	CH 1971-5300	19701104
	CA 962681	A2	19750211	CA 1972-136958	19720313

PRAI JP 1969-88514 19691104

JP 1969-99197 19691209

JP 1969-99628 19691210

JP 1969-100297 19691212

JP 1970-41175 19700513

CA 1970-97269 19701103

GI For diagram(s), see printed CA Issue.

AB The tranquilizing, analgesic, and sedative title compds. (I and II) and (or) their active hydrochlorides were prepared. Thus, hydrogenation of 5.5 g 1-[β -(p-fluorobenzoyl)propionyl]-4-phenylpiperazine in THF with LiAlH_4 gave 4.1 g I (R = p-FC6H4, R1 = Ph). Among 17 compds. similarly prepared were I (R and R1 given): Ph, p-MeC6H4; Ph, m-FC6H4; p-EtO-C6H4, Ph; 2-thienyl, o-ClC6H4. Oxidation of 1-phenyl-4-[4-(2-pyridyl)-1-piperazinyl]butanol with MnO_2 in CHCl_3 , gave II (R = Ph, R1 = 2-pyridyl). Among about 40 compds. similarly prepared were II (R, R1, and salt isolated given): p-FC6H4, p-FC6H4CH2, HCl; p-FC6H4, PhCH2CH2, HCl; Ph, Ph, -; p-ClC6H4, Ph, -; p-ClC6H4, 2-pyridyl, -.

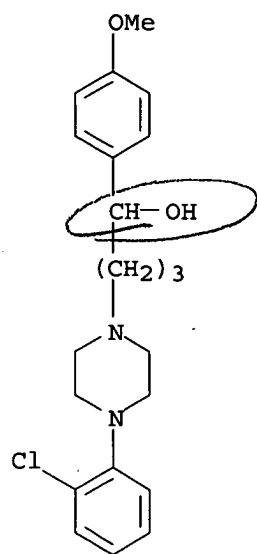
IT 32955-52-5P 32955-53-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32955-52-5 CAPLUS

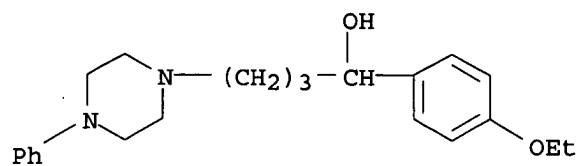
CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI,
7CI, 8CI) (CA INDEX NAME)

10/608073



RN 32955-53-6 CAPLUS

CN 1-Piperazinebutanol, α -(p-ethoxyphenyl)-4-phenyl- (6CI, 7CI, 8CI)
(CA INDEX NAME)



L15 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1971:420439 CAPLUS
 DN 75:20439
 TI Pharmacologically-active ketonic derivatives of phenyl piperazines
 IN Hansen, Holger Victor; Cinnamon, Jerome M.
 PA Shulton, Inc.
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3562277	A	19710209	US 1967-665724	19670906
PRAI	US 1967-665724		19670906		

GI For diagram(s), see printed CA Issue.

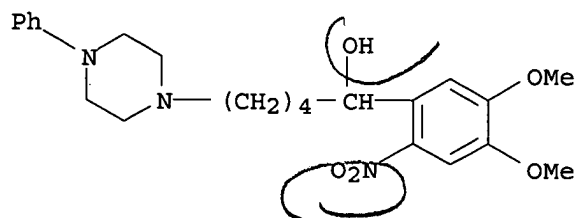
AB The 1-alkyl-4-arylpiperazines (I) are prepared Thus, 2,4,5-O₂N(MeO)2C₆H₂CO(CH₂)₄Cl, and 1-phenylpiperazine refluxed 18 hr in iso-PrOH containing Na₂CO₃ and NaI gave 70% I (R₁ = R₃ = R₆ = H, R₂ = NO₂, R₄ = R₅ = MeO, R₇ = O, n = 4) (II), m. 144-5°. Similarly were prepared addnl. alkoxyated-o-nitrophenyl-ω-(4-aryl-1-piperazinyl)alkyl ketones. II hydrogenated in EtOAc at 20°/50 psig over Raney Ni yielded 55% I (R₁ = R₃ = R₆ = H; R₂ = NH₂; R₄ = R₅ = MeO; R₇ = O; n = 4) (II), m. 96-8° (EtOAcC₆H₁₄). Similarly were obtained a series of alkoxyated-o-aminophenyl-ω-(4-aryl-1-piperazinyl)alkyl ketones. II in EtOH heated 4 hr with NaBH₄ and the mixture diluted with H₂O, filtered and the product recrystd. from iso-PrOH gave 63% 1-(4,5-dimethoxy-2-nitrophenyl)-5-(4-phenyl-1-piperazinyl)-1-pentanol, m. 156-8°. Similar reduction of III gave a gum, converted to the HCl salt, m. 195-205°, and basified to yield 16% 1-(2-amino-4,5-dimethoxyphenyl)-5-(4-phenyl-1-piperazinyl)-1-pentanol, m. 103.0-4.5° (EtOAc-C₆H₁₄). III in alc. containing HCl hydrogenated at 50-60°/50 psig 18 hr over 5% Pd-C, the cooled filtrate evaporated, and the semisolid HCl salt basified gave 1-(2-amino-4,5-dimethoxyphenyl)-5-(4-phenyl-1-piperazinyl)pentane, m. 39-43°. I have central nervous system activity and are also useful as antipyretic agents.

IT 33245-67-9P 33245-68-0P 33245-69-1P
 33245-70-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 33245-67-9 CAPLUS

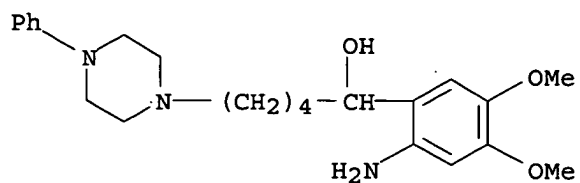
CN 1-Piperazinepentanol, α-(4,5-dimethoxy-2-nitrophenyl)-4-phenyl-
 (8CI) (CA INDEX NAME)



RN 33245-68-0 CAPLUS

CN 1-Piperazinepentanol, α-(2-amino-4,5-dimethoxyphenyl)-4-phenyl-,
 hydrochloride (8CI) (CA INDEX NAME)

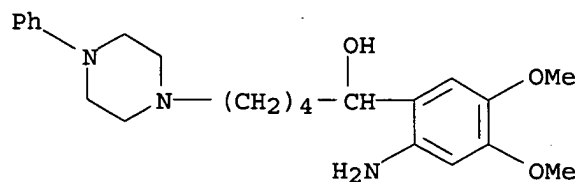
10/608073



● x HCl

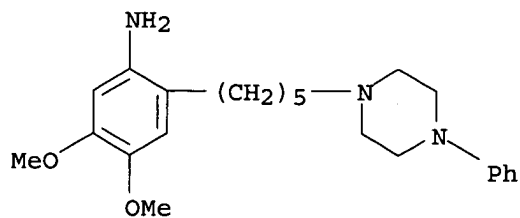
RN 33245-69-1 CAPLUS

CN 1-Piperazinepentanol, α -(2-amino-4,5-dimethoxyphenyl)-4-phenyl-
(8CI) (CA INDEX NAME)



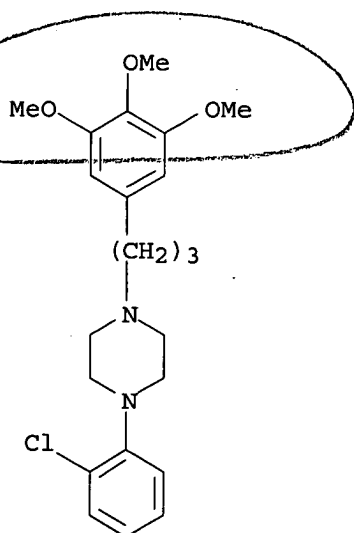
RN 33245-70-4 CAPLUS

CN Piperazine, 1-[5-(2-amino-4,5-dimethoxyphenyl)pentyl]-4-phenyl- (8CI) (CA
INDEX NAME)



10/608073

L15 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1969:501818 CAPLUS
DN 71:101818
TI Synthesis and central nervous system depressant activity of new piperazine and related derivatives. III
AU Petigara, R. B.; Deliwala, Chimanlal; Mandrekar, S. S.; Dadkar, N. K.; Sheth, U. K.
CS Haffkine Inst., Bombay, India
SO Journal of Medicinal Chemistry (1969), 12, 865-70
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Several N1,N4-disubstituted piperazine derivs., in which N1-substituents are 3,4,5-trimethoxy-benzoylacetyl, 3,4,5-trimethoxycinnamoyl or -hydrocinnamoyl, 3,4,5-trimethoxyphenylpropyl, and 3,4,5-trimethoxybenzoyl-alkyl and N4-substituents are benzyl, m-methyl- or p-tert-butylbenzyl, p-chloro- α -phenylbenzyl, Ph, chloro-, fluoro-, or methoxyphenyl, tolyl, α,α,α -trifluorotolyl, 2-pyridyl, 2-pyrimidinyl, or 2-thiazolyl groups, have been synthesized. Analogous compds. with other alkyl and heterocyclic amines in place of piperazine have also been synthesized. All these compds. have been screened for CNS activity. A few of these compds. exhibited significant central nervous system (CNS) depressant activity. The 3,4,5-trimethoxyphenyl moiety was the most essential for CNS activity as stepwise omission of the methoxy groups of most active compds. resulted in loss of activity.
IT 22662-33-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22662-33-5 CAPLUS
CN Piperazine, 1-(2-chlorophenyl)-4-[3-(3,4,5-trimethoxyphenyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L15 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1969:491527 CAPLUS

DN 71:91527

TI Piperazines

IN Bysouth, Peter T.; Clarke, Robert W.

PA British Drug Houses Ltd.

SO S. African, 52 pp.

CODEN: SFXXAB

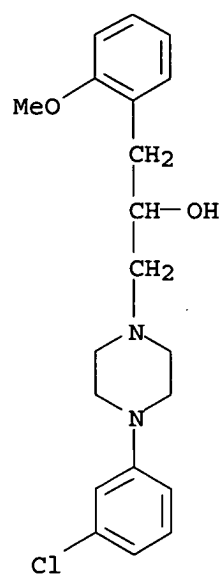
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6804082		19690128		
	DE 1770805			DE	
	FR 1583999			FR	
	GB 1171251			GB	
	US 3732229		19730000	US	
PRAI	GB		19670705		
GI	For diagram(s), see printed CA Issue.				
AB	<p>The title compds. (I) having central nervous system depressant activities are described. Thus, a solution of 8.8 g. 1-chloro-3-(4-cyclohexylphenyl)propan-2-ol in 100 ml. EtOH was treated with 7.25 g. KOH in 70 ml. MeOH followed by 9.3 g. 1-(o-methoxyphenyl)piperazine-2HCl, refluxed 5 hrs. and worked up to give 8.5 g. I (R = 4-cyclohexyl, R1 = R2 = H, R3 = o-MeOC6H4, n = 2) (II), m. 181-3°. II is twice as active as morphine in the mouse tail-pinch test, 3 times as active as chlorpromazine in the mouse rage test and twice as active as chlorpromazine in the antiamphetamine test. A suspension of 49.3 g. p-PhC6H4CH2CH(OH)CH2Cl in 1 l. H2O and 250 ml. EtOH containing 42.4 g. Na2CO3 was heated 4 hrs. with free evaporation of EtOH. The residue was taken up with CHCl3 to give 37.2 g. 3-(p-biphenyl)-1,2-epoxypropane (III), m. 51°, b. 130-2°/0.35 mm.; 1-(4-cyclohexylphenyl)-2,3-epoxypropane, b. 104°/0.3 mm., was similarly prepared. A solution of 2.1 g. III in 20 ml. C6H6 was treated with a solution of 1.97 g. 1-(o-chlorophenyl)-piperazine in 20 ml. C6H6, refluxed 3 hrs., cooled and treated with HCl gas to give I (R = p-PhC6H4, R1 = R2 = H, R3 = o-ClC6H4, n = 1), m. 190-1°. A mixture of 68.8 g. m-BrC6H4NH2 and 71.4 g. (ClCH2CH2)2NH.HCl in 250 ml. EtOH was refluxed 10 hrs., treated with 21.2 g. Na2CO3, refluxed 10 hrs., filtered from solid materials and concentrated to 0.5 volume to give 22.7 g. 1-(m-bromophenyl)piperazine-HCl, m. 217-19°. Similarly prepared were 1-(o-ethoxyphenyl)piperazine-HCl, m. 207-9°; 1-(o-butoxyphenyl)piperazine-HCl, m. 144-6°; 1-(2,4-dimethoxyphenyl)-piperazine-HCl, m. 228-30°; 1-(4-chloro-2-nitrophenyl)piperazine-HCl, m. 239.40°; and 1-(5-chloro-2-methoxyphenyl)-piperazine-HCl, m. 218-20°.</p>				
IT	23696-04-0P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	23696-04-0 CAPLUS				
CN	1-Piperazineethanol, 4-(m-chlorophenyl)-α-(o-methoxybenzyl)- (8CI) (CA INDEX NAME)				

10/608073



L15 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1964:461693 CAPLUS

DN 61:61693

OREF 61:10691c-f

TI N,N'-Disubstituted piperazines

IN Boissier, Jacques; Ratouis, Roger

PA Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)

SO 11 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 966493		19640812	GB	19611113
	FR M2533			FR	

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), in which R1 and R2 are aryl and X is a straight or branched alkylene of 3-4 C-atoms, are adrenolytics, hypotensors, potentiators of barbiturates, and depressants of the central nervous system. They were made by 2 methods. A mixture of 20 g. Ph(CH₂)₃Br, 21.6 g. N-(2-chlorophenyl)piperazine (III), and 15.2 g. K₂CO₃ in 200 cc. BuOH was heated for 20 hrs. to 100-10°, cooled, the KBr filtered off, and the BuOH distilled to yield 23 g. I [R1 = Ph, X = (CH₂)₃, R2 = 2-ClC₆H₄], b_{0.05} 175°; HCl salt m. 190-5° (H₂O). A mixture of 22 g. 3-(3,4-dimethoxyphenyl)propionic acid and 19.65 g. III in 150 cc. xylene was heated, removing the H₂O by azeotropic distillation, to give 28 g. II [R1 = 3,4-(MeO)C₆H₃, Y = CH₂-CH₂, R2 = 2-ClC₆H₄] (IV), m. 81° [(iso-Pr)₂O]. A solution of 19.4 g. IV in 100 cc. 1:1 ether-C₆H₆ was added to a solution of 0.1 mole LiAlH₄ in 250 cc. ether and the mixture refluxed for 4 hrs., cooled, and hydrolyzed to give I [R1 = 3,4-(MeO)C₆H₃, X = (CH₂)₃, R2 = 2-ClC₆H₄], m. 55° (iso-PrOH). Also described were these II (R1, Y, R2, and m.p. given): 3,4-(MeO)C₆H₃, CH:CH, 2-MeOC₆H₄, 119°; Ph, (CH₂)₃, 2-ClC₆H₄, 35-6°; 4-MeOC₆H₄, (CH₂)₃, 2-ClC₆H₄, 65-6°; 3,4-(MeO)C₆H₃, (CH₂)₃, 2-ClC₆H₄, 78-9°; Also prepared were these I (R1, X, R2, and properties given): 4-MeOC₆H₄, (CH₂)₃, 2-pyridyl, m. 47°; Ph, (CH₂)₄, 2-pyridyl, m. 35-6°; 4-MeOC₆H₄, (CH₂)₃, Ph, HCl salt m. 160°; 3,4-(MeO)C₆H₃, (CH₂)₃, Ph, HCl salt m. 173-4°; 3,4-(MeO)C₆H₃, (CH₂)₃, 2-pyridyl, di-HCl salt m. 203°; 3,4-(MeO)C₆H₃, (CH₂)₃, 2-ClC₆H₄, m. 55°; 3,4-(MeO)C₆H₃, (CH₂)₃, 2-MeOC₆H₄, m. 102-3°; Ph, (CH₂)₄, 2-ClC₆H₄, m. 48°; 4-MeOC₆H₄, (CH₂)₄, 2-ClC₆H₄, HCl salt m. 188°; 3,4-(MeO)C₆H₃, (CH₂)₄, 2-ClC₆H₄, m. 70°; 3,4-(MeO)C₆H₃, (CH₂)₄, 2-MeOC₆H₄, m. 89-91° (HCl salt m. 202°). Also made were: N-(1-phenyl-2-propyl)-N'-phenylpiperazine, m. 41°; N-[1-(3,4-dimethoxyphenyl)-2-propyl]-N'-phenylpiperazine, HCl salt m. 197-8°; N-(1-phenyl-3-butyl)-N'-(2-pyridyl)piperazine-2HCl, m. 190°.

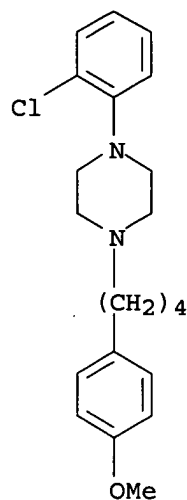
IT 94968-87-3, Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]- 94968-91-9, Piperazine, 1-(o-chlorophenyl)-4-[3-(3,4-dimethoxyphenyl)propyl]- 94999-31-2, Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl- 95555-19-4, Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl- 96064-31-2, Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl-, dihydrochloride 97296-49-6, Piperazine, 1-(o-chlorophenyl)-4-[4-(3,4-dimethoxyphenyl)butyl]- 100001-95-4, Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]-, hydrochloride 100197-19-1, Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl-, hydrochloride (preparation of)

RN 94968-87-3 CAPLUS

CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]- (7CI) (CA

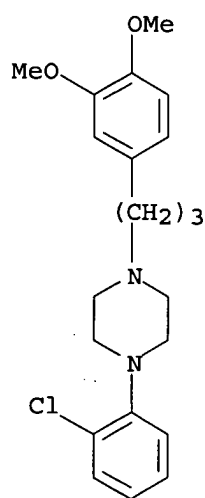
10/608073

INDEX NAME)



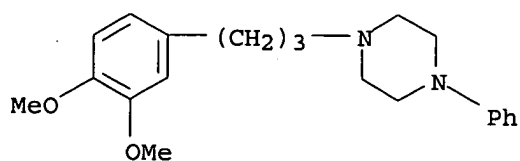
RN 94968-91-9 CAPLUS

CN Piperazine, 1-(o-chlorophenyl)-4-[3-(3,4-dimethoxyphenyl)propyl]- (7CI)
(CA INDEX NAME)



RN 94999-31-2 CAPLUS

CN Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl- (7CI) (CA INDEX NAME)

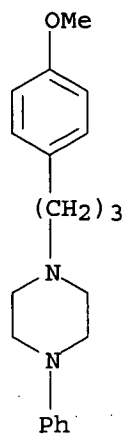


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10/608073

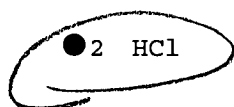
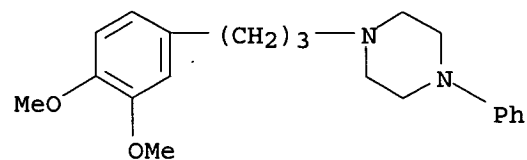
RN 95555-19-4 CAPLUS

CN Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl- (7CI) (CA INDEX NAME)



RN 96064-31-2 CAPLUS

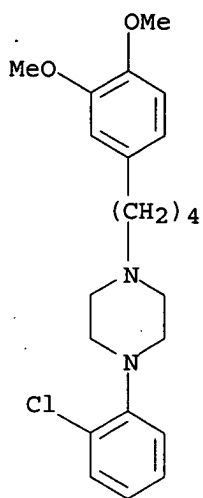
CN Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)



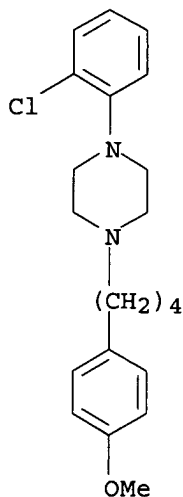
RN 97296-49-6 CAPLUS

CN Piperazine, 1-(o-chlorophenyl)-4-[4-(3,4-dimethoxyphenyl)butyl]- (7CI) (CA INDEX NAME)

10/608073



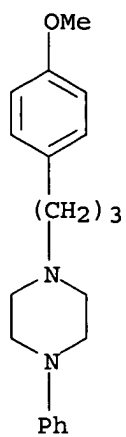
RN 100001-95-4 CAPLUS
CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 100197-19-1 CAPLUS
CN Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl-, hydrochloride (7CI) (CA INDEX NAME)

10/608073



●x HCl

L15 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1964:454894 CAPLUS

DN 61:54894

OREF 61:9510c-h,9511a-b

TI 1-(4-Cyano-4-phenylbutyl)-4-phenylpiperazines

IN Morren, Henri

SO 23 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 630835		19631104	BE	
	DE 1196660			DE	
	GB 980251			GB	
	US 3211734		1965	US	
PRAI	GB		19620416		

GI For diagram(s), see printed CA Issue.

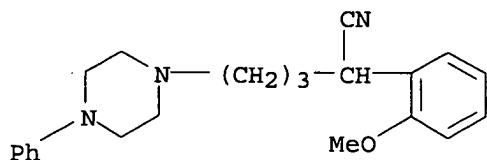
AB Compds. of the general formula I are prepared and can be used as tranquilizers. A solution of 234 g. PhCH₂CN in 450 ml. PhMe is added to a suspension of 45 g. Na in liquid NH₃, the NH₃ evaporated, a solution of 500 g. Br(CH₂)₃Cl in 600 ml. PhMe added in 90 min. at 0-10°, and the mixture agitated 5 hrs. at room temperature to give 175 g. PhCH(CN)(CH₂)₃Cl (II), b_{0.05} 120-5°. A mixture of 40 g. N-(m-chlorophenyl)piperazine and 19.4 g. II is heated 5 hrs. at 130-5°, 400 ml. anhydrous C₆H₆ added as the mixture is cooled, the mixture filtered, the filtrate evaporated to dryness in vacuo, the residue dissolved in EtOH, and the solution treated with alc. HCl to give 31.5 g. 1-(4-cyano-4-phenylbutyl)-4-(m-chlorophenyl)piperazine-2HCl, m. 197-8° (EtOH). Similarly prepared are the following I (R = CN) (X, Y, m.p. HCl salt, and m.p. 2HCl salt given): m-Me, H, --, 210-12° (decomposition) (EtOH); o-Me, H, --, 235-7°; o-Me, m-Me, --, 232-3°; m-Me, m-Cl, --, 198-9°; o-Me, o-Cl, 175°, --; m-Me, m-Me, --, 208°; p-Me, o-MeO, --, 193-5°; m-Me, m-MeO, --, 188-90°; p-Me, m-Me, --, 208-9°; o-Me, o-MeO, --, 183-5°; o-Me, m-Cl, 235-6°, --; p-Me, m-Cl, --, 203-4°; p-MeO, m-Cl, 200-1°, --; m-Cl, m-Cl, --, 175-7°; m-Me, o-Cl, 200-2°, --; m-Cl, H, --, 211-13°; m-Cl, o-MeO, --, 170-1°; p-Me, o-Cl, 198-200°, --; m-Cl, m-Me, --, 195-7°; p-MeO, m-Me, --, 182-3°; p-MeO, o-Cl, 197-8°, --; p-MeO, H, --, 200-1°; m-Cl, o-Cl, 187-8°, --; o-Cl, H, --, 211-13°; p-tert-Bu, H, --, 242°; p-Me, m-MeO, --, 195-7°; p-MeO, m-MeO, --, 197-8°; p-tert-Bu, m-Me, --, 225°; H, m-Me, --, 205°; H, m-MeO, --, 209-11°; H, m-CF₃, --, 190-2°; p-tert-Bu, m-MeO, --, 207-8°; p-tert-Bu, m-Cl, 230°, --; o-MeO, H, --, 190-2°; o-Cl, m-Me, --, 205-7°; o-MeO, m-Me, --, 188-9°; o-Cl, m-Cl, --, 216°; o-MeO, m-Cl, 223°, --; p-Cl, m-Me, --, 208°; p-Cl, H, --, 218-20°; H, H, --, 225-7°; p-Cl, o-MeO, --, 206-8°; p-Me, o-Me, 223°, --; H, o-MeO, --, 195-6°; H, o-Me, 235°, --; p-Cl, o-Me, 220-1°, --; p-F, o-MeO, --, 197-9°; p-MeO, o-Me, 233-5°, --; p-F, o-Me, --, 216-18°; p-F, H, --, 234-5°; p-MeO, o-MeO, --, 178-9°; H, o-Cl, --, 169-71°; H, p-Cl, --, 221-3°; p-Cl, p-Cl, --, 206-8°; p-Et, H, --, 194-5°; p-Et, o-Me, --, 213-15°; p-iso-Pr, o-MeO, --, 204°; p-iso-Pr, H, --, 205-7°; and p-iso-Pr, o-Me, --, 246-8°. Similarly prepared were (m.p. and m.p. HCl salt given): 1-(2,5-dimethylphenyl)-4-[4-cyano-4-(p-tolyl)butyl]piperazine, --, 230°; 1-(2,5-dimethoxyphenyl)-4-[4-cyano-4-(p-tolyl)butyl]piperazine, --, -- (2HCl salt m. 185-6°); 1-(4-cyano-4,4-diphenylbutyl)-4-phenylpiperazine, 91-3°, 238-40°;

1-[2-methyl-4-cyano-4-(p-tolyl)butyl]-4-(o-methoxyphenyl)piperazine, --, 160°; 1-(4-dimethylcarbamoyl-4-phenylbutyl)-4-phenylpiperazine, --, -- (2HBr salt m. 201-3°). A mixture of 15 g. I (X = Y = H, R = CN)-2HCl and 35 ml. 90% H₂SO₄ is heated 3 hrs. on a H₂O bath to give 11.2 g. 1-(4-carbamoyl-4-phenylbutyl)-4-phenylpiperazine, m. 153-4° (diluted EtOH), 2HCl salt m. 210-11° (MeOH). Similarly prepared are the following I (R = CONH₂) (X, Y, m.p., m.p. HCl salt, and m.p. 2HCl salt given): H, m-Cl, 137-9°, 201-3° (EtOH), --; H, o-Cl, 143-4° (diluted EtOH), --, --; p-Cl, p-Cl, --, 237-9° (EtOH), --; and p-Cl, H, 191° (EtOH), --, 218-20° (diluted EtOH). Also prepared was 1-(4-carbamoyl-4-phenyl-2-methylbutyl)-4-phenylpiperazine; 2HCl salt m. 205-6° (decomposition) (EtOH). Also prepared were 1-(4-pyrrolidinylcarbonyl-4,4-diphenylbutyl)-4-(m-chlorophenyl)piperazine, m. 159-60° (EtOAc), 2HCl salt m. 175-6° (decomposition) (EtOH-ether); and the following intermediates of the formula III (R = CN) (X and b.p./mm. given): p-Cl, 152-4°/0.5; p-Me, 138-42°/0.01; o-Me, 148-52°/0.05; m-Me, 148-50°/0.01; o-Cl, 135-40°/0.001; m-Cl, 135-40°/0.001; p-F, 130-5°/0.005; p-tert-Bu, 150-5°/0.001; o-MeO, 150-5°/0.05; p-MeO, 152-7°/0.3; p-Et, 157-62°/0.05; p-iso-Pr, 155-60°/0.05. Also prepared were (b.p./mm. given): p-MeC₆H₄CH(CN)CH₂CH(Me)CH₂Cl, 105°/0.001; Ph₂C(CN)CH₂CH₂Cl, --, m. 93-5°; Ph₂C(CN)(CH₂)₃OH, 168-72°/0.01; 2-(4-cyano-4,4-diphenylbutoxy)-tetrahydropyran, 182-5°/0.02; III (X = H, R = CONMe₂), 130-5°/0.01; PhCH(CN)CH₂CH(Me)CH₂Cl, 110-15°/0.005.

IT 97297-10-4, 1-Piperazinevaleronitrile, α-(o-methoxyphenyl)-4-phenyl-, dihydrochloride 97297-11-5, 1-Piperazinevaleronitrile, α-(p-methoxyphenyl)-4-phenyl-, dihydrochloride 100735-19-1, 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)-α-(o-methoxyphenyl)-, hydrochloride 106503-76-8, 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)-, hydrochloride 106503-77-9, 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)-α-(p-methoxyphenyl)-, hydrochloride (preparation of)

RN 97297-10-4 CAPLUS

CN 1-Piperazinevaleronitrile, α-(o-methoxyphenyl)-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)

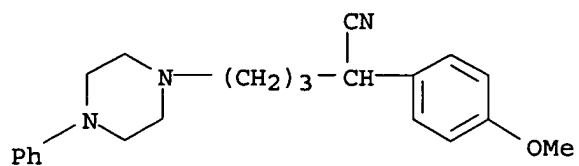


● 2 HCl

RN 97297-11-5 CAPLUS

CN 1-Piperazinevaleronitrile, α-(p-methoxyphenyl)-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)

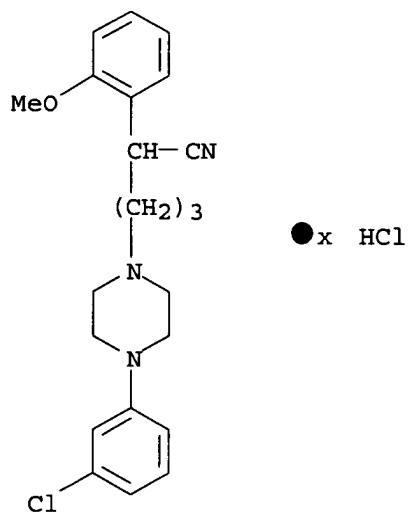
10/608073



● 2 HCl

RN 100735-19-1 CAPLUS

CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)-α-(o-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

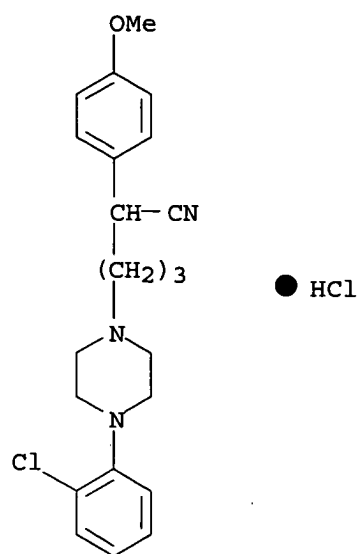


● x HCl

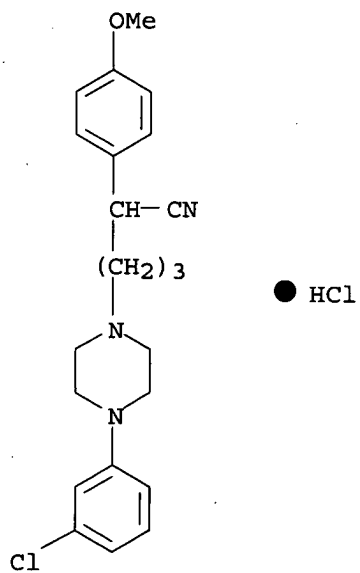
RN 106503-76-8 CAPLUS

CN 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

10/608073



RN 106503-77-9 CAPLUS
CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(p-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)



L15 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1964:9816 CAPLUS

DN 60:9816

OREF 60:1768b-f

TI N-(ω -Phenyl- ω -hydroxyalkyl)-N'-arylpiperazines

IN Boissier, Jacques R.; Ratouis, Roger

PA Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)

SO 14 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1337097		19630906	FR	
	GB 970130			GB	

PRAI GB 19611025

GI For diagram(s), see printed CA Issue.

AB 1-Arylpiperazines are treated with carboxylic acids to give I, and I is reduced with LiAlH_4 in a non-hydroxylated organic solvent to give II. Thus, a solution of 15.9 g. DL-mandelic acid and 19.65 g. 1-(2-chlorophenyl)piperazine in 150 ml. xylene is refluxed to give 50% N-(phenylhydroxyacetyl)-N'-(2-chlorophenyl)piperazine (III), m. 113-14°. A solution of 16.5 g. III in 100 ml. 1:1 (volume) anhydrous C_6H_6 and anhydrous ether is added to a solution of 0.1 mole LiAlH_4 in 250 ml.

anhydrous

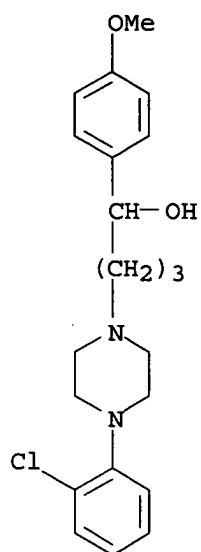
ether, the mixture refluxed 4 hrs., cooled, and hydrolyzed, and the organic phase concentrated to give 63% N-(2-phenyl-2-hydroxyethyl)-N'-(2-chlorophenyl)piperazine, m. 129° (EtOH). Similarly prepared are the following I (R, R', m.p., and % yield given): $\text{Ph}(\text{MeO})\text{CH}$, 2- ClC_6H_4 , 68-70° (hexane), 65; BzCH_2 , 2-pyridyl, 94-5° (absolute EtOH), 66; 3,4-(MeO) $2\text{C}_6\text{H}_3\text{COCH}_2\text{CH}_2$, 2- ClC_6H_4 , 145° (xylene), 82; $\text{Ph}(\text{MeO})\text{CHCH}_2$, 2- ClC_6H_4 , -, -, $\text{PhCH}_2\text{CH}_2\text{CH}(\text{OH})$, 2-pyridyl, -, -, and the following II (R, R', m.p. HCl salt, and % yield given): $\text{Ph}(\text{MeO})\text{CH}$, 2- ClC_6H_4 , 220° (iso- PrOH), 53; $\text{HO}[3,4-(\text{MeO})2\text{C}_6\text{H}_3]\text{CHCH}_2\text{CH}_2$, 2- MeOC_6H_4 , 173-4° (iso- PrOH - Me_2CO), -, $\text{Ph}(\text{HO})\text{CHCH}_2$, 2-pyridyl, -, 53 [base m. 96° (EtOH)]; $\text{Ph}(\text{HO})\text{CHCH}_2$, 2- ClC_6H_4 , -, -, [base m. 118° (EtOH)]; $\text{HO}[3,4-(\text{MeO})2\text{C}_6\text{H}_3]\text{CH}$, 2-pyridyl, -, -, [base m. 125° (iso- PrOH)]; $\text{HO}[3,4-(\text{MeO})2\text{C}_6\text{H}_3]\text{CHCH}_2\text{CH}_2$, 2- ClC_6H_4 , -, 72 (base m. 87°); $\text{Ph}(\text{HO})\text{CHCH}_2\text{CH}_2$, 2- ClC_6H_4 , -, - [base m. 86-7° [(iso- Pr) 2O]]; $\text{Ph}(\text{HO})\text{CHCH}_2\text{CH}_2$, 2- MeOC_6H_4 , -, - [base m. 93-4° (heptane)]; $\text{Ph}(\text{HO})\text{CHCH}_2\text{CH}_2$, Ph , -, - [base m. 81° (heptane)]; $\text{Ph}(\text{HO})\text{CHCH}_2\text{CH}_2$, 2-pyridyl, -, -, [base m. 115° (heptane)]; $\text{HO}(4-\text{MeOC}_6\text{H}_4)\text{CHCH}_2\text{CH}_2$, 2- ClC_6H_4 , -, - [base m. 105-6° [(iso- Pr) 2O]]; $\text{HO}(4-\text{MeOC}_6\text{H}_4)\text{CHCH}_2\text{CH}_2$, 2- MeOC_6H_4 , -, - [base m. 119° (heptane)]; $\text{Ph}(\text{MeO})\text{CHCH}_2$, 2- ClC_6H_4 , 158-9° (MeCN-ether), 51; $\text{Ph}(\text{MeO})\text{CHCH}_2$, 2- MeOC_6H_4 , 111° (MeCN-ether), -, $\text{PhCH}_2\text{CH}_2\text{CHOH}$, 2- ClC_6H_4 , 215-17° (absolute EtOH), -, $\text{PhCH}_2\text{CH}_2\text{CHOMe}$, 2- ClC_6H_4 , 168-70° (iso- PrOH), -, 4- $\text{MeOC}_6\text{H}_4\text{CH}_2\text{CH}_2\text{CHOH}$, 2- ClC_6H_4 , 190° (iso- PrOH), -, $\text{PhCH}_2\text{CH}_2\text{CHOH}$, 2-pyridyl, -, 71 [base m. 72° [(iso- Pr) 2O]].

IT 32955-52-5, 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- 94968-92-0, 1-Piperazineethanol, 4-(o-chlorophenyl)- α -(p-methoxyphenethyl)- 95159-12-9, 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(3,4-dimethoxyphenyl)- (preparation of)

RN 32955-52-5 CAPLUS

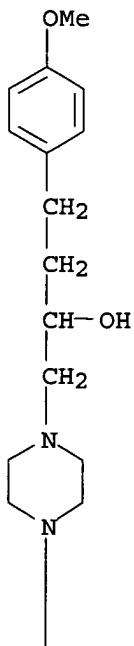
CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI, 7CI, 8CI) (CA INDEX NAME)

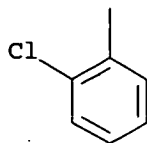
10/608073



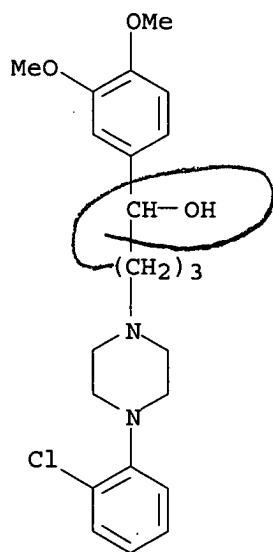
RN 94968-92-0 CAPLUS
CN 1-Piperazineethanol, 4-(o-chlorophenyl)-α-(p-methoxyphenethyl)-
(7CI) (CA INDEX NAME)

PAGE 1-A





RN 95159-12-9 CAPLUS

CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(3,4-dimethoxyphenyl)-
(7CI) (CA INDEX NAME)

L15 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1963:448345 CAPLUS

DN 59:48345

OREF 59:8732a-c

TI New derivatives of N, N'-disubstituted piperazine having neurotropic properties

AU Morren, H.; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.

CS Union Chim.-Chem. Bedrijven, Brussels

SO Industrie Chimique Belge (1963), 28, 123-34

CODEN: ICBEAJ; ISSN: 0019-9052

DT Journal

LA Unavailable

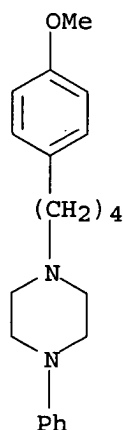
GI For diagram(s), see printed CA Issue.

AB Hydrochlorides of I were prepared by classical methods. R was H, lower alkyl, OMe, halogen in o, m, or p; R1 was H, Me, OMe, Cl, CF3 in o, m, or p; R2 was H, CN, CONH2, CONMe2, CO2Et, COMe, COEt, COPr, CH2NH2, CH2OH; and Z was (CH2)2-4, CH2CHMeCH2, CHMeCH2. The maximum neurotropic activity was found for I [R2 = CN, Z = (CH2)3] where R = halogen, Me, or MeO in para position and R1 = halogen, Me, or MeO in ortho position.

IT 96064-20-9, Piperazine, 1-[4-(p-methoxyphenyl)butyl]-4-phenyl-, dihydrochloride 96214-96-9, 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(o-methoxyphenyl)-, dihydrochloride 97297-10-4, 1-Piperazinevaleronitrile, α -(o-methoxyphenyl)-4-phenyl-, dihydrochloride 97297-11-5, 1-Piperazinevaleronitrile, α -(p-methoxyphenyl)-4-phenyl-, dihydrochloride 101656-16-0, 4-Octanone, 5-(p-methoxyphenyl)-8-(4-phenyl-1-piperazinyl)-, hydrochloride 106503-76-8, 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)-, hydrochloride 106503-77-9, 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(p-methoxyphenyl)-, hydrochloride (preparation of)

RN 96064-20-9 CAPLUS

CN Piperazine, 1-[4-(p-methoxyphenyl)butyl]-4-phenyl-, dihydrochloride (7CI)
(CA INDEX NAME)

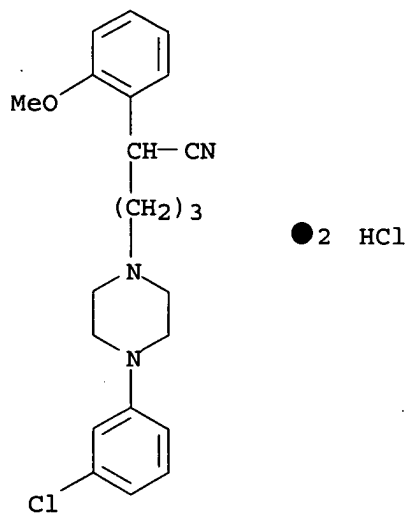


● 2 HCl

RN 96214-96-9 CAPLUS

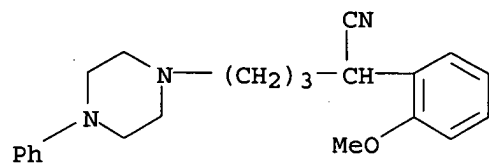
CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(o-methoxyphenyl)-, dihydrochloride (7CI) (CA INDEX NAME)

10/608073



RN 97297-10-4 CAPLUS

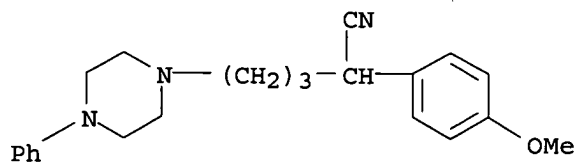
CN 1-Piperazinevaleronitrile, α -(o-methoxyphenyl)-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl

RN 97297-11-5 CAPLUS

CN 1-Piperazinevaleronitrile, α -(p-methoxyphenyl)-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)

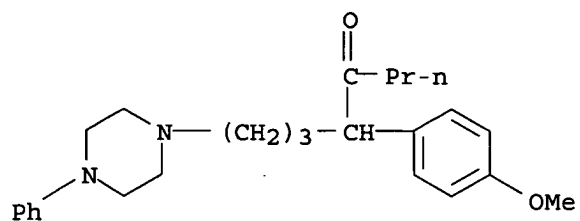


● 2 HCl

RN 101656-16-0 CAPLUS

CN 4-Octanone, 5-(p-methoxyphenyl)-8-(4-phenyl-1-piperazinyl)-, hydrochloride (7CI) (CA INDEX NAME)

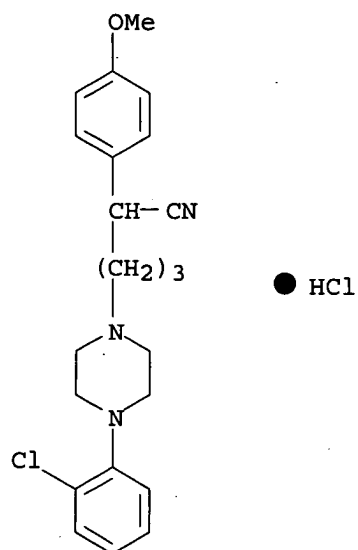
10/608073



● x HCl

RN 106503-76-8 CAPLUS

CN 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

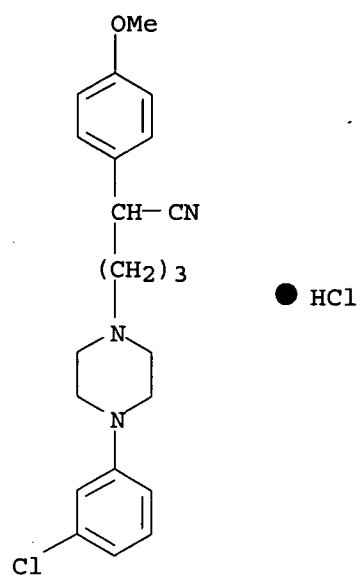


● HCl

RN 106503-77-9 CAPLUS

CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

10/608073



L15 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1962:60632 CAPLUS

DN 56:60632

OREF 56:11603b-i,11604a-h

TI 1-(Aroylalkyl)-4-arylpiperazines

IN Janssen, Paul Adriaan J.

DT Patent

LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2997472		19610822	US	19590326

AB Substituted piperazines of the general formula (I) are prepared I and I salts are central nervous system depressants and when n is 3 or larger are tranquilizers, barbiturate potentiators, analgesic agents and inhibit the righting reflex in exptl. animals. Cl(CH₂)₃COCl (II) (71) and C₆H₆ 63 added with stirring and cooling to AlCl₃ 71 and C₆H₆ 310 parts gave RCO(CH₂)₃Cl (III) (R = Ph), b₅ 134-7°. Also reported were these substituted III (R given); p-FC₆H₄, b₆ 136-42°; p-ClC₆H₄, b₆ 185-90°; p-MeC₆H₄, m. 31.5°; 2,5-Me₂C₆H₃, b₇ 142-8°; p-MeOC₆H₄, b₆ 175°; 2,4-(MeO)₂C₆H₃, b₄ 15090°. HN[(CH₂)₂OH]₂ (185), and m-FC₆H₄NH₂ 177 in 12N HCl 280 parts, the H₂O removed, and the residue made alkaline with NaOH and extracted with CHCl₃ gave -N(m-fluorophenyl)piperazine, b₃ 145-55°. Similarly prepared were the pFC₆H₄ and 2,5-Me₂C₆H₃ derivs., b₁ 105-20° and b₁ 110-20°, resp. II 9.9 and 1-phenylpiperazine 13.4 parts standing 6 h. at room temperature

and 4 h. at 105-10° gave I (R = R' = Ph, n = 3), m. 89-90°. By similar methods the following I (n = 3) having R = Ph were prepared (R', m.p., and m.p. hydrochloride given): m-FC₆H₄, 80.2-1.6, --; p-FC₆H₄, 104-5.5°, 214.5-17°; m-ClC₆H₄, 88-90°, --; p-ClC₆H₄, 127-8.4°, --; o-MeC₆H₄, --, 205.7°; m-MeC₆H₄, 78-9°, --; p-MeC₆H₄, 87.5-8.3°, --; 2,5-Me₂C₆H₃, --, 229-30°; o-MeOC₆H₄, --, 207.5-9.5°; and p-MeOC₆H₄, 85-6.2°, --. Having R = p-FC₆H₄: Ph, 104-6°, --; m-FC₆H₄, --, 198-200°; p-FC₆H₄, --, 199.5-202.15°; o-ClC₆H₄, --, 21114°; m-ClC₆H₄, --, 197.8-9.5°; p-ClC₆H₄, 96-8°, --; o-MeC₆H₄, --, 238-41°; m-MeC₆H₄, --, 210-13°; p-MeC₆H₄, 99-101°, --; 2,5-Me₂C₆H₃, --, 237.5-9.5°; o-MeOC₆H₄, 67.5-8.5°, 205.0-5.5°, and p-MeOC₆H₄, 104.6-5.6°, --. Having R = p-ClC₆H₄: Ph, 113.5-14.4°, --; m-ClC₆H₄, 86-8°, --; m-MeC₆H₄, 99.6-100.4°, --; p-MeC₆H₄, 129.5-30.5°, --; p-MeOC₆H₄, 126.6-7.8°, --; p-FC₆H₄, --, 207-9°; and p-ClC₆H₄, 127-8.5°, --. Having R = p-MeO- C₆H₄: Ph, 126.6-7.5°, --; m-FC₆H₄, 111-13°, --; p-FC₆H₄, 121.2-1.8, --; o-ClC₆H₄, 73.5-3.8°, --; m-ClC₆H₄, 101.6-2.4, --; p-ClC₆H₄, 128.6-30°, --; o-MeC₆H₄, --, 239.5-40.5°; m-MeC₆H₄, 105-6°, --; p-MeC₆H₄, 126.67.6°, --; 2,5-Me₂C₆H₃, --, 225-6°; o-MeOC₆H₄, --, 1978.2; and p-MeOC₆H₄, 125.6-7.4°, --. Having R = 2,4(MeO)₂C₆H₃: Ph, --, 195-6°; o-MeC₆H₄, --, 177-9.2°; and o-MeOC₆H₄, --, 214-15°. Also prepared were I (R, n, R', m.p., and m.p. hydrochloride given): 2,5-Me₂C₆H₃, 3, Ph, --, 179.5-80.5°; 2,3-(MeO)₂C₆H₃, 3, Ph, 101-3.5, --; 2,5-(MeO)₂C₆H₃, 3, Ph, --, decomposed at 179-80°; 2,3,4-(MeO)₃C₆H₂, 3, Ph, 113-16.2°, --; p-EtOC₆H₄, 3, Ph, 125.2-6.8°, --; p-EtOC₆H₄, 3, m-MeC₆H₄, 113.4-13.8°, --; Ph, 4, Ph, --, 209-12°; and Ph, 4, m-MeC₆H₄, --, 191.5-2.5°. Similarly prepared were IIIa (R, m.p., and m.p. hydrochloride given): Ph, --, 219.5-21.5°; m-MeC₆H₄, 32.8-33.8°, --; and o-MeOC₆H₄, --, 193-7°. 1-Thenoylalkyl-4-aroylpiperazines. U.S. 3,000,891, Sept. 19, 1961, Appl. Nov. 16, 1959. 2-(-Chlorobutyryl)thiophene 12.2, PhMe 120, and piperazine 72 parts refluxed 2 h., cooled, filtered, and

extracted with Et₂O gave I (R = 2-thienyl, n = 3, and R' = H) (IV), m. 51-4°. IV 7.1, C₆H₆ 40, and aqueous 10% NaOH 55 added to p-FC₆H₄COCl 4.8 in C₆H₆ 20 parts gave, on heating 1 h. at 70°, I (R = 2-thienyl, n = 3 and R' = p-FC₆H₄CO), m. 82.5-3.5°. Similarly these I were prepared (R = 2-thienyl): n = 4, R' = PhCO; n = 3, R' = nicotinoyl, m. 64.6-5.8°; n = 3, R' = 2-thienoyl, m. 85.67.4°; and n = 3, R' = m-IC₆H₄CO. 1-Aryl-ω-(4-arylpiperazine)alkanols. U.S. 2,997,474. Appl. Oct. 12, 1959. NaBH₄ 0.25 added with stirring to I (R = R' = Ph, n = 3) 8.5 in alc. 160 parts at 45°, the mixture decomposed with 2N HCl after 2 h., concentrated, and the residue dissolved in H₂O, made alkaline with

5%

NaOH, and extracted with ether gave (V) (R = R' = Ph, n = 3); dihydrochloride m. 198200°. Similarly the appropriate I gave these V (R, R', m.p. given, n = 3): Ph, m-ClC₆H₄, 83.5-4.5°; Ph, p-Me-C₆H₄, 90.2-1.8°; Ph, m-FC₆H₄, 70-1.5°; Ph, m-ClC₆H₄, 99.0-9.9°; Ph, p-ClC₆H₄, 105-6°; Ph, p-MeOC₆H₄, 91.5 2.6°; p-MeOC₆H₄, Ph, 104.8-5.6°; 2,5-Me₂C₆H₃, Ph, 92.8-3.8°; p-MeC₆H₄, p-MeC₆H₄, 105-6°; p-MeC₆H₄, pMeOC₆H₄, 84-5°; p-FC₆H₄, Ph, 85.5-7.50 (hydrochloride m. 143.5-6.5°); p-ClC₆H₄, Ph, 93.5-5.0°; p-FC₆H₄, m-ClC₆H₄, 100-1.8°; p-FC₆H₄, p-ClC₆H₄, 112.5-13.8°; p-Cl(C₆H₄, m-ClC₆H₄, 84-5°; p-ClC₆H₄, p-ClC₆H₄, 132-3°; p-FC₆H₄, o-MeOC₆H₄, 105-6°; p-ClC₆H₄, m-MeC₆H₄, 934.5°; p-ClC₆H₄, p-MeC₆H₄, 116-17°; p-FC₆H₄, p-MeC₆H₄, 93-5°; p-MeOC₆H₄, Ph, 104.2-7.2°; p-MeOC₆H₄, p-Cl C₆H₄, 121-2°; p-MeOC₆H₄, o-ClC₆H₄, 106.8-8.4°; p-MeOC₆H₄, m-MeC₆H₄, 119.5-21.5°; p-MeOC₆H₄, p-MeC₆H₄, 109.5-10.2°; and p-EtOC₆H₄, Ph, 113-14.8°. Also prepared were V (R = Ph, n = 4, R' and m.p. given): Ph, 111-12° and m-MeC₆H₄, 107.4-9.2°. 1-(2-Thienyl)-ω-(4-arylpiperazine)alkanols. U.S. 3,002,976, Oct. 3, 1961, Appl. Oct. 12, 1959. The following V were prepared similarly from the appropriate I (R = 2-thienyl, n, R' and m.p. given): 2, Ph, 97.4-8.8°; 3, Ph, 91.4-3.0°; 2, m-MeC₆H₄, 94-5°; 3, m-MeC₆H₄, 76-8°; 3, p-MeC₆H₄, 113-14°; 3, m-FC₆H₄, 78-9°; 3, p-ClC₆H₄, 109.2-10°; 3, o-ClC₆H₄, 85.5-7.5°; 3, m-ClC₆H₄, 81.5°; 2, p-ClC₆H₄, 98-9°; and 3, p-ClC₆H₄, 110-11.9°. 1-Aroylalkyl-4-arylpiperazines. U.S. 3,000,892, Sept. 19, 1961, Appl. Nov. 16, 1959. Substituted piperazines of the general formula (VI) were prepared VI and VI salts are potent central nervous system depressants and possess hypnotic activity. III (R = Ph) 36.4 in PhMe 40 added over 1 h. to piperazine 69 and refluxing PhMe 160 parts, the refluxing continued 2 h., and the mixture cooled, filtered and distilled gave VII (R = Ph), b₂ 179.5-80°. Also reported was VII (R = p-FC₆H₄); hydrochloride m. 156-9.5°. VII (R = Ph) 7 in C₆H₆ 60 and 10% aqueous NaOH 50, treated with PhCOCl 4.5 parts at 70° 1 h., cooled, and separated gave VI (R = R' = Ph), m. 85-6°. Also reported were these VI (R, R', and m.p. given): Ph, p-FC₆H₆, -- (hydrochloride m. 214.516.5°); Ph, o-ClC₆H₄, 216-17.5°; Ph, m-ClC₆H₄, -- (hydrochloride m. 210.5-12.5°); Ph, p-ClC₆H₄, 98-9°; Ph, m-F₃CC₆H₄, 77.5-9.0°; Ph, o-MeOC₆H₄, -- (hydrochloride m. 140.8-43°); Ph, 2,6-(MeO)₂C₆H₃, -- (oxalate m. 193-14.8°); Ph, 3,4,5-(MeO)₂C₆H₂, -- (oxalate 187.4-8.2°); p-FC₆H₄, Ph, -- (hydrochloride m. 228-32.5°); p-MeOC₆H₄, Ph, -- (hydrochloride m. 200.2-3.2°); p-MeOC₆H₄, p-FC₆H₄, 65.2-6.2°; p-MeOC₆H₄, o-MeOC₆H₄, 97-8.2°; and p-MeOC₆H₄, 2,6-(MeO)₂C₆H₃, -- (oxalate m. 201.51.8°).

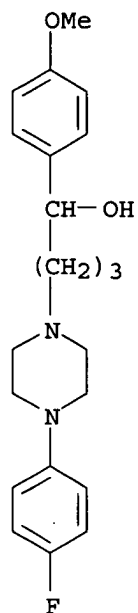
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10/608073

95698-57-0, 1-Piperazinebutanol, 4-(p-chlorophenyl)- α -(p-methoxyphenyl)-
(preparation of)

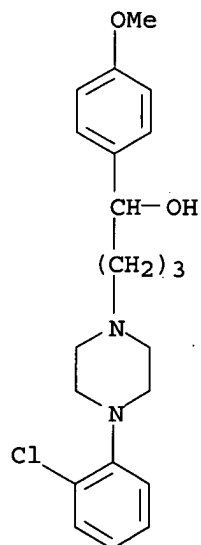
RN 857-96-5 CAPLUS

CN 1-Piperazinebutanol, 4-(p-fluorophenyl)- α -(p-methoxyphenyl)- (7CI,
8CI) (CA INDEX NAME)



RN 32955-52-5 CAPLUS

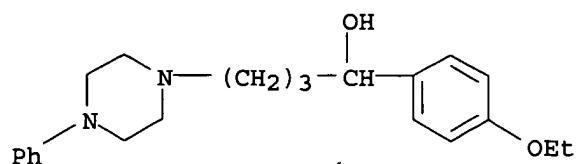
CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI,
7CI, 8CI) (CA INDEX NAME)



RN 32955-53-6 CAPLUS

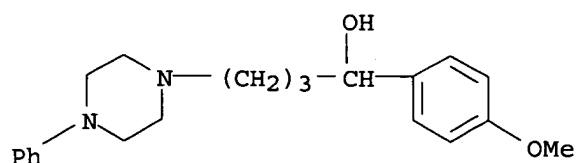
10/608073

CN 1-Piperazinebutanol, α -(p-ethoxyphenyl)-4-phenyl- (6CI, 7CI, 8CI)
(CA INDEX NAME)



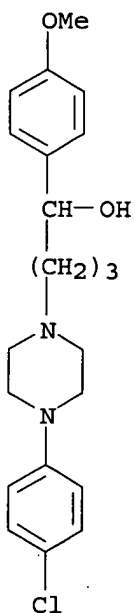
RN 94999-32-3 CAPLUS

CN 1-Piperazinebutanol, α -(p-methoxyphenyl)-4-phenyl- (6CI, 7CI) (CA
INDEX NAME)



RN 95698-57-0 CAPLUS

CN 1-Piperazinebutanol, 4-(p-chlorophenyl)- α -(p-methoxyphenyl)- (7CI)
(CA INDEX NAME)



L15 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1961:28013 CAPLUS

DN 55:28013

OREF 55:5549c-i,5550a-i,5551a-g

TI 1-Arylalkyl-4-arylpiperazines

IN Janssen, Paul A. J.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 589092		19600415	BE	
	DE 1185615			DE	
	GB 872352			GB	
AB	<p>1-(γ-Benzoylpropyl)-4-phenylpiperazine, m. 89-90° (6:5 iso-PrOH-H₂O), was prepared by reaction of 7.5 g. chlorobutyrophenone and 13.4 g. 1-phenylpiperazine 6 hrs. at room temperature and 4 hrs. at 105-10°; after cooling, 200 g. Et₂O was added, the solution dried and evaporated, the residue dissolved in hot 4:1 70% EtOH-Et₂O, and precipitated on cooling. The following 1-(arylalkyl)piperazines (1-arylalkyl = γ-benzoylpropyl) were thus prepd (4-aryl group and m.p. given): 3-fluorophenyl, 80.2-1.6° (iso-Pr₂O); 3-chlorophenyl, 88-90°; 4-chlorophenyl, 127-8° (10:1 petr. ether-EtOH); 2-tolyl (HCl salt), 205-7° (5:4:3 iso-PrOH-MeOH-acetone); 3-tolyl, 78-9° (13:1 petr. ether-EtOH); 4-tolyl, 87.5-8.5° (iso-PrOH-H₂O); 2,5-xylyl (HCl salt), 229-30°; 2-anisyl (di-HCl salt), 207.5-9.5° (iso-PrOH); 4-anisyl, 85-6° (iso-Pr₂O); 2-pyridyl, 63-4.8°; 6-methyl-2-pyridyl, 72-5.8°; 4-methyl-2-pyridyl, 65.5-6.5°; 3-cyano-2-pyridyl, 45.5-7°; 5-methyl-2-pyridyl, 71.5-3°; 2-pyrimidyl, 78-9°; 4-methyl-2-pyrimidyl, 62.4-3.2°; 4,6-dimethyl-2-pyrimidyl, 97.4-8°. The following 1-(δ-benzoylbutyl)piperazines: Ph (di-HCl salt), 209-12° (8:8:1 acetone-iso-PrOH-MeOH); 3-tolyl (di-HCl salt), 191.5-2.5°; 2-pyridyl (di-HCl salt), 206.5-7.5°. 1-[γ-(4-Fluorobenzoyl)propyl]piperazines: Ph, 104-6° (iso-PrOH); 3-fluorophenyl (di-HCl salt), 198-200°; 4-fluorophenyl (di-HCl salt), 199.5-201.1°; 4-fluorophenyl (HCl salt), 180.2-1.6° (acetone-iso-PrOH); 2-chlorophenyl (HCl salt), 211-14° (iso-PrOH); 3-chlorophenyl (HCl salt), 197.8-9.5° (acetone-MeOH); 4-chlorophenyl, 96-8° (40:3 petr. ether-EtOH); 2-tolyl (HCl salt), 238-41° (decomposition); 3-tolyl (di-HCl salt), 210-13° (decomposition); 4-tolyl, 99-101° (iso-PrOH-H₂O); 2,5-xylyl (di-HCl salt), 237.5-9.5°; 2-anisyl, 67.5-8.5° (iso-Pr₂O) (di-HCl salt m. 205-5.5°); 4-anisyl, 104.6-5.5° (iso-PrOH); 5-methyl-2-pyridyl, 92-3°; 4-methyl-2-pyrimidyl (di-HCl salt), 215-20°. 1-[γ-(4-Chlorobenzoyl)propyl]piperazines: Ph, 113.5-14.5°; 3-chlorophenyl, 86-8°; 3-tolyl, 99.6-110.4°; 4-tolyl, 129.5-30.5°; 4-anisyl, 126.6-7.8°; 4-fluorophenyl (HCl salt), 207-9°; 4-chlorophenyl, 127-8.5°; 2-pyridyl, 82.5-4.4°. 1-[γ-(4-Methylbenzoyl)propyl]piperazines: Ph, 103-4.8°; 2-chlorophenyl, 106-7°; 3-chlorophenyl, 124.5-5.5°; 4-chlorophenyl, 134.5-6°; 3-tolyl, 87-8.5°; 4-tolyl, m. 117.2-19.2°; 2-pyridyl, 92-3°; 4-anisyl, 123.2-4°. 1-[γ-(2,5-Dimethylbenzoyl)propyl]piperazines: Ph (HCl salt), 179.5-80.5°. 1-[γ-(4-Anisoyl)propyl]piperazines: 3-fluorophenyl, m. 111-13°; 2-chlorophenyl, 73.5-3.8°; 3-iodophenyl, -; 3-chlorophenyl, 101.6-2.4°; 4-chlorophenyl, 128.6-30°; 2-tolyl (HCl salt), 239.5-40.5°; 3-tolyl, 105-6°; 4-tolyl, 126.6-7.6°; 2,5-xylyl (HCl salt),</p>				

225-6°; 2-anisyl (di-HCl salt), 197-8.2°; 4-anisyl, 125.6-7.4°. 1-[γ -(2,4-Dimethoxybenzoyl)propyl]piperazines: Ph (di-HCl salt), 195-6°; 2-tolyl (HCl salt), 177-9.2°; 2-anisyl (HCl salt), 214-15°; 2-pyridyl, 84.5-5.5°; 4-methyl-2-pyridyl, 79-80.8°. 1-[γ -(3,4-Dimethoxybenzoyl)propyl]piperazines: Ph, 101-3.5°; 2-pyridyl, 104.5-6.9°; 4-methyl-2-pyridyl, 85.4-6.5°.

1-[γ -(2,5-Dimethoxybenzoyl)propyl]piperazines: Ph (di-HCl salt), 179-80°. 1-[γ -(2,3,4-Trimethoxybenzoyl)propyl]piperazines: Ph, 113-16.2°. 1-[γ -(4-Ethoxybenzoyl)propyl]piperazines: Ph, 125.2-6.8°; 3-tolyl, 113.4-13.8°. 1-(β -Methyl- γ -benzoylpropyl)piperazines: Ph (di-HCl salt), 219.5-21.5°; 3-tolyl, 32.8-3.8° (petr. ether); 2-anisyl (di-HCl salt), 193-7°.

1-[γ -(4-Iodobenzoyl)propyl]piperazines: 5-methyl-2-pyridyl, -; 2-pyridyl, -; 4-methyl-2-pyrimidyl (di-HCl salt), -; 2-thiazolyl, -. 1-[γ -(4-Methoxybenzoyl)propyl]piperazines: 6-methyl-2-pyridyl, 74.6°; 4-methyl-2-pyridyl, 69.5-70.5°; 5-methyl-2-pyridyl, 84.6-6°; 3-cyano-2-pyridyl, 73.5-5.5°; 2-pyrimidyl, 83-3.5°; 2-thiazolyl (di-HCl salt), 122-4°; 4-methyl-2-pyrimidyl, 90°; 4,6-dimethyl-2-pyrimidyl, 71.8-4.2°; 2-(4-methyl)thiazolyl, 62.5-72° (di-HCl salt m. 187-201°); 2-(5-methyl-1,3,4-thiadiazolyl), 111.5-12.5°.

1-[γ -(2-Thenoyl)propyl]piperazines: 2-pyridyl, 70-1°; 5-methyl-2-pyridyl, 89.5-90.5°; 4-methyl-2-pyridyl, 65-6°; 6-methyl-2-pyridyl, 107.5-8.5°; 3-cyano-2-pyridyl, 71.5-2.5°; 2-pyrimidyl, 57.5-8.6°; 4-methyl-2-pyrimidyl, 52-3° (di-HCl salt m. 214.8-17°); 4,6-dimethyl-2-pyrimidyl, 64.5-5.6°; 2-thiazolyl, 52.2-4.6°; 2-(4-methyl)thiazolyl (di-HCl salt), 163-6°; 2-(5-methyl-1,3,4-thiadiazolyl), 83.6-5.6°; Ph (HCl salt), 186-7°; 3-fluorophenyl, 68.2-70.2°; 2-chlorophenyl (HCl salt), 202.5-3°; 3-chlorophenyl, 103.6-4.6°; 4-chlorophenyl, 94.5-6.5°; 2-tolyl (HCl salt), 212-13°; 3-tolyl, 74-6°; 4-tolyl, 77.5-8.5°; 2,5-xylyl (di-HCl salt), 214-15°; 2-anisyl (di-HCl salt), 197-201.8°; 4-anisyl, 69-70°.

1-[γ -(4-Fluorobenzoyl)propyl]piperazines: 4,6-dimethyl-2-pyrimidyl, 85.5-7.5°; 2-pyrimidyl, 111.6-12.8°; 2-thiazolyl, 74.5-6.5°; 2-(5-methylthiazolyl), 73-5.2°; 2-(5-methyl-1,3,4-thiadiazolyl), 105-6°; 2-(1,3,4-thiadiazolyl), 94.6-5.8°. 1-(γ -Benzoylpropyl)piperazines: 2-thiazolyl, 61.5-4°; 2-(4-methylthiazolyl) (di-HCl salt), 186-8°; 2-(1,3,4-thiadiazolyl), 59-64°; 2-(5-methyl-1,3,4-thiadiazolyl), 98-100.2°. 1-(γ -Benzoylpropyl)-4-(4-fluorophenyl)piperazine di-HCl salt, m. 214.5-17° (1:2:2 acetone-iso-PrOH-MeOH), was prepared by heating in a sealed tube 72 hrs. at 145-50° 9.1 g. γ -chlorobutyrophenone, 23 g. 1-(4-fluorophenyl)piperazine, and 0.1 g. KI, extracting the cooled mixture with H₂O and Et₂O, and treating the dried organic layer with dry HCl; the base was liberated in aqueous alkaline solution, m. 104-5.5° (EtOH).

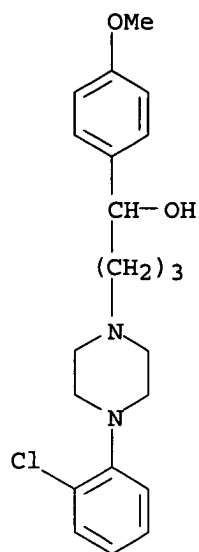
1-[γ -(4-Anisoyl)propyl]-4-phenylpiperazine, m. 126.6-7.5°, and the corresponding 4-fluorophenyl derivative, m. 121.2-1.8°, 1-[γ -(2-thenoyl)propyl]-4-phenylpiperazine-2HCl, decomposed at 203-5°, and the 4-fluorophenyl analog, m. 82.5-3°, were similarly prepared 1-[γ -(4-Fluorobenzoyl)propyl]-4-(3-methyl-2-pyridyl)piperazine-HCl, m. 212-20° (iso-Pr₂O), was prepared from 4.4 g. γ -chloro-4-fluorobutyrophenone and 7.8 g. 1-(3-methyl-2-pyridyl)piperazine in 120 cc. C₆H₆ in a sealed tube at 125° 24 hrs. The following derivs. were similarly prepared 1-[γ -(4-Fluorobenzoyl)propyl] compound (4-aryl and m.p. given): 4-methyl-2-pyridyl, 79.5-81°; 3-cyano-2-pyridyl, 71.5-3.5°;

6-chloro-3-pyridazinyl, 152-3.9°. 1-[γ -(4-Methoxybenzoyl)propyl] compound: 6-chloro-3-pyridazinyl, 176-6.8°. 1-[γ -(2-Thenoyl)propyl] compound: 6-chloro-3-pyridazinyl, 138-8.8°; 6-methoxy-3-pyridazinyl, 98.8-9.8°. 1-(γ -Benzoylpropyl)-4-benzoylpiperazine, m. 85-6° (iso-Pr₂O), was prepared by heating a stirred mixture of 7 g. 1-(γ -benzoylpropyl)piperazine, 60 g. C₆H₆, 50 g. 10% NaOH solution, and (dropwise) 4.5 g. BzCl, and keeping the mixture at 70° 45-60 min. The following 1-(γ -benzoylpropyl) compds. were similarly prepared (same data): 4-fluorobenzoyl (HCl salt), 214.5-16.5°; 2-chlorobenzoyl (HCl salt), 216-17.5°; 3-chlorobenzoyl (HCl salt), 210.5-12.5°; 4-chlorobenzoyl, 98-9°; 3-trifluoromethylbenzoyl, 77.5-9°; 2-anisoyl (HCl salt), 140.8-3°; 2,6-dimethoxybenzoyl (oxalate); 193.1-4.8°; 3,4,5-trimethoxybenzoyl (oxalate), 187.4-8.2°; 5-(3-methyl-1,2,4-thiadiazolyl), 78-9°; 3-carboxamido-2-pyridyl, 112.6-14.2°. 1-[γ -(4-Fluorobenzoyl)propyl] compds.: benzoyl (HCl salt), 228-32.5°. 1-[γ -(4-Anisoyl)propyl] compds.: benzoyl (HCl salt), 200.2-3.2°; 4-fluorobenzoyl, 65.2-6.2°; 2-anisoyl, 97-8.2°; 2,6-dimethoxybenzoyl (oxalate), 201.5-1.8°. 1-[γ -(2-Thenoyl)propyl] compds.: 4-fluorobenzoyl, 82.5-3.5°; 4-nicotinoyl, 64.6-5.8°; 2-thenoyl, 85.6-7.4°. 1-Phenyl-4-(4-phenylpiperazinyl)-1-butanol-2-HCl, m. 198-200°, was prepared by reaction of 8.5 g. 1-(γ -benzoylpropyl)-4-phenylpiperazine and 0.25 g. NaBH₄ in 160 cc. absolute EtOH 2 hrs. at 45° and decomposition with 2N HCl; the distillation residue was treated with aqueous alkali solution, extracted with Et₂O, and treated with dry HCl. Following 1-phenyl-4-(R-substituted-piperazinyl)-1-butanols were similarly prepared (R given); 4-(3-tolyl), 83.5-4.5°; 4-(4-tolyl), 90.2-1.8°; 4-(3-fluorophenyl), 70-1.5°; 4-(3-chlorophenyl), 99-9.9°; 4-(4-chlorophenyl), 105-6°; 4-(4-anisyl), 91.5-2.6°; 4-(4-methyl-2-pyrimidyl), 78.5-80°; 4-(2-pyridyl), 113.8-14.8°. 1-(4-Tolyl) analogs: 4-Ph, 104-5.6°; 4-(4-tolyl), 105-6°; 4-(4-anisyl), 84-5°; 4-(2-pyridyl), 119.2-19.8°. 1-(2,5-Xylyl) analogs: 4-Ph, 92.8-3.8°. 1-(4-Fluorophenyl) analogs: 4-Ph, 85.5-7.5° (HCl salt m. 143.5-6.5°); 4-(3-chlorophenyl), 100-1.8°; 4-(4-chlorophenyl), 112.5-13.8°; 4-(2-anisyl), 105-6°; 4-(4-tolyl), 93-5°; 4-(2-pyridyl), 104-5°. (4-Chlorophenyl) analogs: 4-Ph, 93.5-5°; 4-(3-chlorophenyl), 84-5°; 4-(4-chlorophenyl), 132-3°; 4-(3-tolyl), 93-4.5°. 1-(4-Anisyl) analogs: 4-Ph, 104.2-7.2°; 4-(2-chlorophenyl), 106.8-8.4°; 4-(3-tolyl), 119.5-21.5°; 4-(4-tolyl), 109.5-10.2°. 1-(4-Ethoxyphenyl) analogs: 4-Ph, 113-14.8°. 1-(2-Thienyl) analogs: 4-Ph, 91.4-3°; 4-(3-tolyl), 76-8°; 4-(4-tolyl), 113-14°; 4-(3-fluorophenyl), 78-9°; 4-(4-chlorophenyl), 109.2-10°; 4-(2-chlorophenyl), 85.5-7.5°; 4-(3-chlorophenyl), 81.5°; 4-(2-pyridyl), 95-7°; 4-(2-pyrimidyl), 97.6-9.4°. 1-Phenyl-5-(4-phenylpiperazinyl)-1-pentanol, m. 111-12°, and 1-phenyl-5-[4-(3-tolyl)piperazinyl]-1-pentanol, m. 107.4-9.2°, were also prepared 1-[γ -(4-Anisoyl)propyl]-4-(6-methyl-2-pyridyl)piperazine, m. 74-6°, was prepared by heating 8 hrs. at 110° 6.2 g. γ -chloro-4-methoxybutyrophenone and 8.9 g. 1-(6-methyl-2-pyridyl)piperazine. 1-(γ -Benzoylpropyl)-4-(6-methylthio-3-pyridazinyl)piperazine, m. 124-5°, was prepared by heating in a sealed tube 48 hrs. at 140-50°, 14.8 g. 1-(γ -benzoylpropyl)piperazine, 5 g. 3-chloro-6-(methylthio)pyridazine, 120 g. toluene, and 0.01 g. KI. N-(4-Tolylsulfonyl)-N-(β -hydroxyethyl)-N-(β -hydroxypropyl)amine (I), m. 66.2-8.2° (iso-PrOH and petr.

ether at -20°), was prepared by adding 190.5 g. 4-toluenesulfonyl chloride to 119 g. N-(β-hydroxyethyl)-N-(β-hydroxypropyl)amine and 54 g. Na₂CO₃ in 450 g. H₂O at 70°, heating 1 hr. at 95°, cooling, and extracting with Et₂O. Reaction of 450 g. I and 690 g. SOCl₂ at 125° 1 hr., yielded N-(4-tolylsulfonyl)-N-(β-chloroethyl)-N-(β-chloropropyl)amine (II). Adding slowly 9.3 g. aniline in 15 cc. cyclohexanol to a hot mixture of 31 g. II, 32 g. Na₂CO₃, 0.1 g. KI, and 215 g. cyclohexanol, refluxing 48 hrs., cooling, filtering, adding C₆H₆, Et₂O, H₂O, and concentrated HCl precipitated

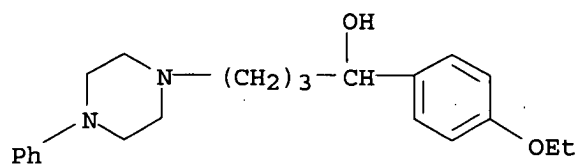
- 1-(4-tolylsulfonyl)-3-methyl-4-phenylpiperazine-HCl (III), m. 214-20° (decomposition). Powdered 3-methyl-4-phenylpiperazine-2HBr, m. 193.4-9° (decomposition), was prepared by stirring at 30° 24 hrs. 93.5 g. III, 71.7 g. phenol, and 570 g. 30% HBr in AcOH, treating the product with Et₂O, then boiling acetone. The free base in 4-methyl-2-pentanone was refluxed 22 hrs. with 11.2 g. γ-chloro-4-fluorobutyrophenone, 12.7 g. Na₂CO₃, and 0.1 g. KI; the product was treated with active C, then with dry HCl in Et₂O to yield 1-[γ-(4-fluorobenzoyl)propyl]-3-methyl-4-phenylpiperazine-2HCl, m. 227-34.5° (decomposition). Following 1-substituted-3-methyl-4-substituted-piperazines were similarly prepared (1- and 4-substituents and m.p. given): γ-benzoylpropyl, Ph (di-HCl salt), 229-33° [4-(2-anisyl) analog (di-HCl salt) m. 212-15°]; γ-(4-anisoyl)propyl, Ph, 92-3.8° [4-(2-anisyl) analog (di-HCl salt) m. 199-200°]; γ-(2-thenoyl)propyl, Ph (di-HCl salt), 214-15.5° [4-(2-anisyl) analog (di-HCl salt) m. 213-14.5°]; γ-(4-fluorobenzoyl)propyl, 2-anisyl (di-HCl salt), 212-13°. 1-[γ-(4-Anisoyl)propyl]-4-phenylpiperazine, m. 85-6.2°, was obtained by adding dropwise 180.9 g. 1-phenyl-4-(cyanopropyl)piperazine in 700 cc. Et₂O to a stirred solution of 211 g. 4-anisylmagnesium bromide in 700 cc. Et₂O, refluxing 1 hr., treating with dilute HCl, heating gently the aqueous solution 1 hr., and extracting the alkalized solution with CHCl₃.
- IT 32955-52-5, 1-Piperazinebutanol, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)- 32955-53-6, 1-Piperazinebutanol, α-(p-ethoxyphenyl)-4-phenyl- 94999-32-3, 1-Piperazinebutanol, α-(p-methoxyphenyl)-4-phenyl- (preparation of)
- RN 32955-52-5 CAPLUS
- CN 1-Piperazinebutanol, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)- (6CI, 7CI, 8CI) (CA INDEX NAME)

10/608073



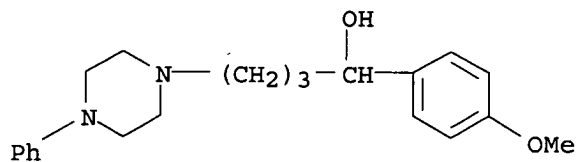
RN 32955-53-6 CAPLUS

CN 1-Piperazinebutanol, α -(p-ethoxyphenyl)-4-phenyl- (6CI, 7CI, 8CI)
(CA INDEX NAME)



RN 94999-32-3 CAPLUS

CN 1-Piperazinebutanol, α -(p-methoxyphenyl)-4-phenyl- (6CI, 7CI) (CA
INDEX NAME)



10/608073

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COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

144.45

520.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

TOTAL

SESSION

CA SUBSCRIBER PRICE

-20.79

-20.79

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L16

9 L14

=> d l16 1-9 bib hitstr

10/608073

L16 ANSWER 1 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA62:11831c CAOLD
TI N-aryl-N'-aralkyldiazacycloalkanes
AU De Stevens, George; Mull, R. P.
PA CIBA Corp.
DT Patent
TI bis(4,6-dichloro-2-hydroxyphenyl) sulfoxide, salts of
PA Tanabe Seiyaku Co., Ltd.
DT Patent
TI salts of bis(4,6-dichloro-2-hydroxyphenyl) sulfoxide
AU Sugimoto, Norio; Imado, S.; Yoshikawa, Y.
DT Patent

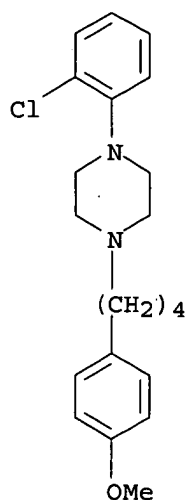
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PI JP 64028551		1964
PI US 3168522		1965

IT 94968-87-3

RN 94968-87-3 CAOLD

CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]- (7CI) (CA
INDEX NAME)



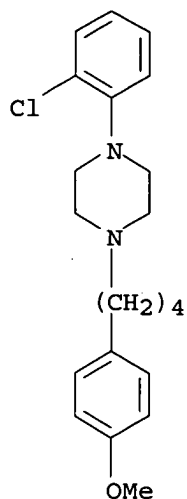
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L16 ANSWER 2 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA61:10691c CAOLD
TI N,N'-disubstituted piperazines
AU Boissier, Jacques R.; Ratouis, R.
DT Patent
TI piperazines (N,N'-disubstituted)
PA Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)
DT Patent

PATENT NO.	KIND	DATE
GB 966493		
FR M2533		
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95555-19-4	96064-31-2	97296-49-6
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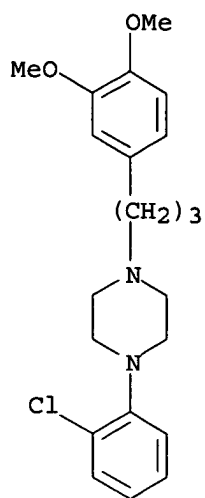
RN 94968-87-3 CAOLD
CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]- (7CI) (CA INDEX NAME)

prev. cited.

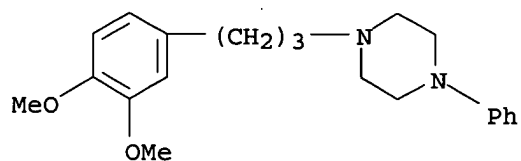


RN 94968-91-9 CAOLD
CN Piperazine, 1-(o-chlorophenyl)-4-[3-(3,4-dimethoxyphenyl)propyl]- (7CI)
(CA INDEX NAME)

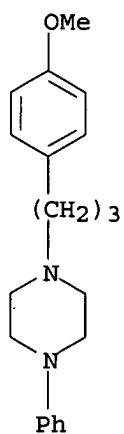
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RN 94999-31-2 CAOLD
CN Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl- (7CI) (CA INDEX NAME)

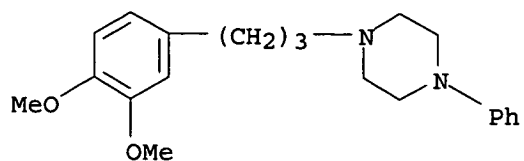


RN 95555-19-4 CAOLD
CN Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl- (7CI) (CA INDEX NAME)



RN 96064-31-2 CAOLD
CN Piperazine, 1-[3-(3,4-dimethoxyphenyl)propyl]-4-phenyl-, dihydrochloride (7CI) (CA INDEX NAME)

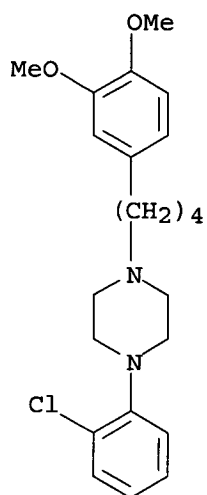
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RN 97296-49-6 CAOLD

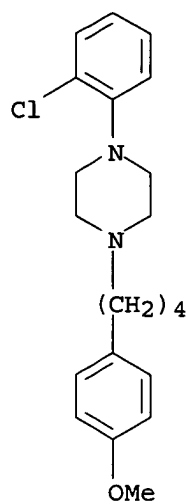
CN Piperazine, 1-(o-chlorophenyl)-4-[4-(3,4-dimethoxyphenyl)butyl]- (7CI)
(CA INDEX NAME)



RN 100001-95-4 CAOLD

CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]-,
hydrochloride (7CI) (CA INDEX NAME)

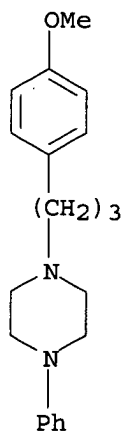
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●x HCl

RN 100197-19-1 CAOLD

CN Piperazine, 1-[3-(p-methoxyphenyl)propyl]-4-phenyl-, hydrochloride (7CI)
(CA INDEX NAME)

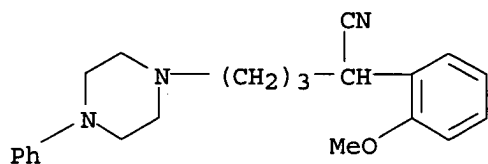


●x HCl

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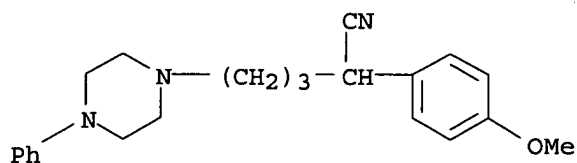
L16 ANSWER 3 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA61:9510c CAOLD
TI 1-(4-cyano-4-phenylbutyl)-4-phenylpiperazines
AU Morren, Henri
DT Patent
PATENT NO. KIND DATE

PI BE 630835
DE 1196660
GB 980251
US 3211734 1965
IT 97297-10-4 97297-11-5 100735-19-1
106503-76-8 106503-77-9
RN 97297-10-4 CAOLD
CN 1-Piperazinevaleronitrile, α -(o-methoxyphenyl)-4-phenyl-,
dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl

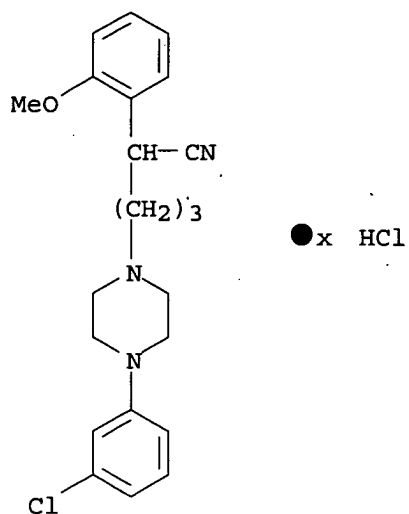
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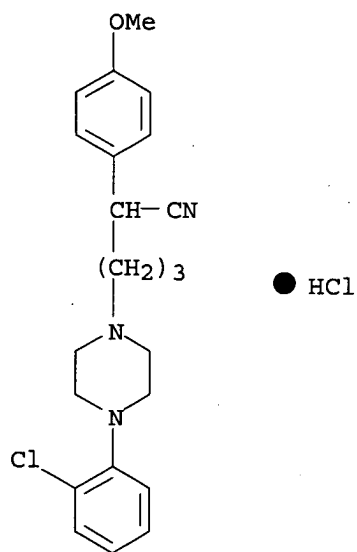
● 2 HCl

RN 100735-19-1 CAOLD
CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(o-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)

10/608073

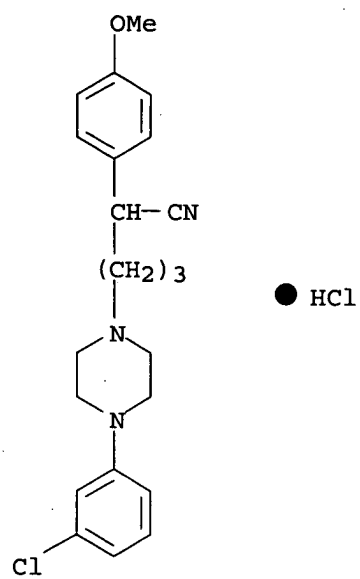


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CN 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)



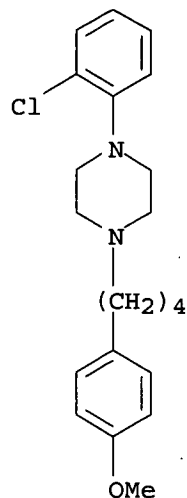
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CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)-α-(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

10/608073



10/608073

L16 ANSWER 4 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA60:1774h CAOLD
TI antibacterial thiopyridazines for agricultural use
AU Kinugawa, Jiro; Yamamoto, H.; Ochiai, M.; Kadona, I.
DT Patent
IT 94968-87-3
RN 94968-87-3 CAOLD
CN Piperazine, 1-(o-chlorophenyl)-4-[4-(p-methoxyphenyl)butyl]- (7CI) (CA
INDEX NAME)



10/608073

L16 ANSWER 5 OF 9 CAOLD COPYRIGHT 2004 ACS on STN

AN CA60:1768f CAOLD

TI quinolinium and isoquinolinium pyrimidine salts

PA Merck & Co., Inc.

DT Patent

PATENT NO.	KIND	DATE
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PI	GB 933041	
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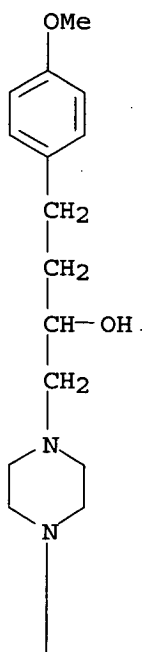
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IT 94968-92-0

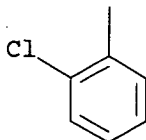
RN 94968-92-0 CAOLD

CN 1-Piperazineethanol, 4-(o-chlorophenyl)- α -(p-methoxyphenethyl)-
(7CI) (CA INDEX NAME)

PAGE 1-A



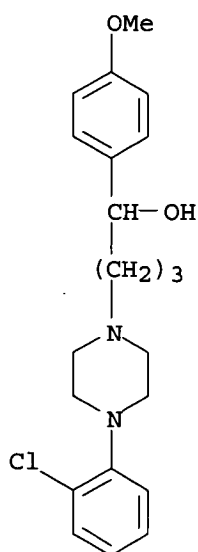
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10/608073

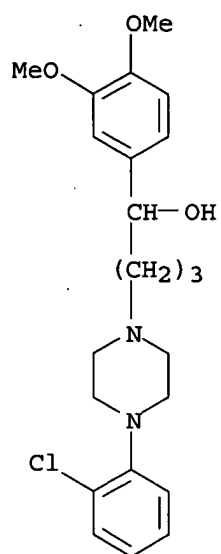
L16 ANSWER 6 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA60:1768b CAOLD
TI N-(ω -phenyl- ω -hydroxyalkyl)-N'-arylpiperazines
AU Boissier, Jacques R.; Ratouis, R.
PA Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)
DT Patent
PATENT NO. KIND DATE

PI FR 1337097
GB 970130
IT 32955-52-5 95159-12-9
RN 32955-52-5 CAOLD
CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI,
7CI, 8CI) (CA INDEX NAME)



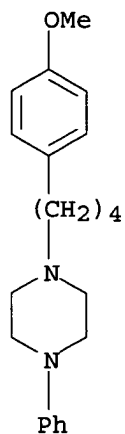
RN 95159-12-9 CAOLD
CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(3,4-dimethoxyphenyl)-
(7CI) (CA INDEX NAME)

10/608073



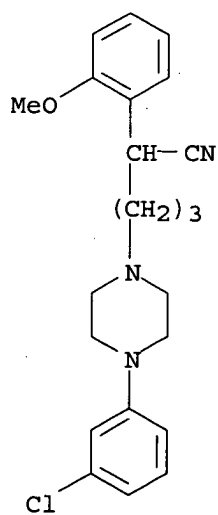
10/608073

L16 ANSWER 7 OF 9 CAOLD COPYRIGHT 2004 ACS on STN
AN CA59:8732a CAOLD
TI derivs. of N,N'-disubstituted piperazine having neurotropic properties
AU Morren, Henri; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.
IT 96064-20-9 96214-96-9 97297-10-4
97297-11-5 101656-16-0 106503-76-8
106503-77-9
RN 96064-20-9 CAOLD
CN Piperazine, 1-[4-(p-methoxyphenyl)butyl]-4-phenyl-, dihydrochloride (7CI)
(CA INDEX NAME)



●2 HCl

RN 96214-96-9 CAOLD
CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)-α-(o-methoxyphenyl)-,
dihydrochloride (7CI) (CA INDEX NAME)

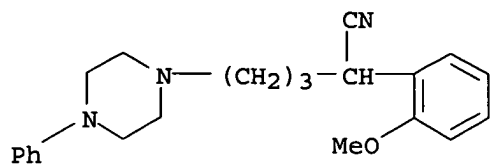


●2 HCl

RN 97297-10-4 CAOLD
CN 1-Piperazinevaleronitrile, α-(o-methoxyphenyl)-4-phenyl-,

10/608073

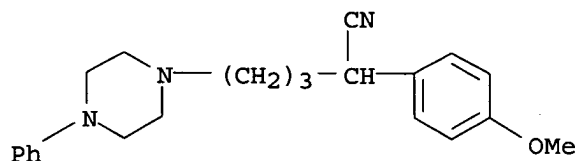
dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

RN 97297-11-5 CAOLD

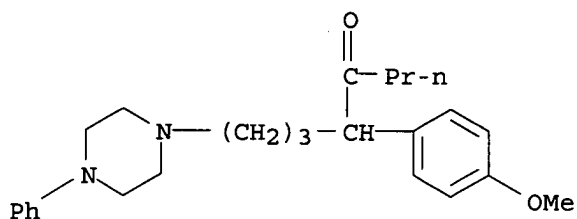
CN 1-Piperazinevaleronitrile, α-(p-methoxyphenyl)-4-phenyl-,
dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

RN 101656-16-0 CAOLD

CN 4-Octanone, 5-(p-methoxyphenyl)-8-(4-phenyl-1-piperazinyl)-, hydrochloride
(7CI) (CA INDEX NAME)

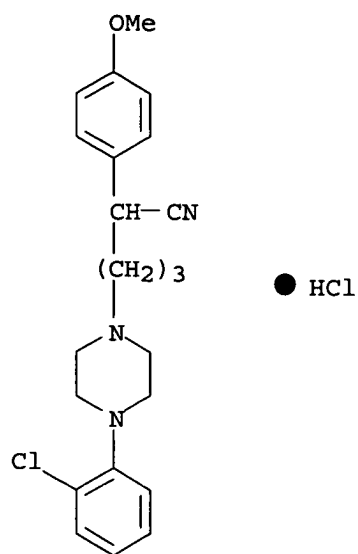


●x HCl

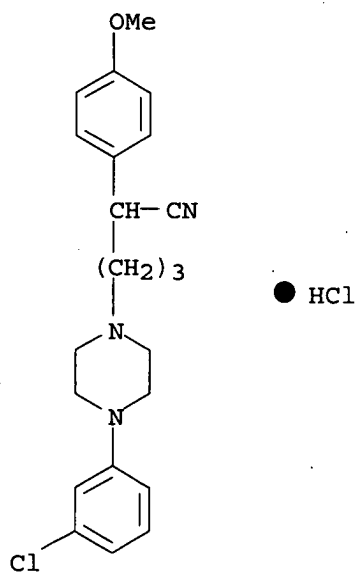
RN 106503-76-8 CAOLD

CN 1-Piperazinevaleronitrile, 4-(o-chlorophenyl)-α-(p-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)

10/608073



RN 106503-77-9 CAOLD
CN 1-Piperazinevaleronitrile, 4-(m-chlorophenyl)- α -(p-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)



10/608073

L16 ANSWER 8 OF 9 CAOLD COPYRIGHT 2004 ACS on STN

AN CA56:11603b CAOLD

TI 1-(aroylalkyl)-4-arylpiperazines

AU Janssen, Paul A. J.

DT Patent

PATENT NO.	KIND	DATE
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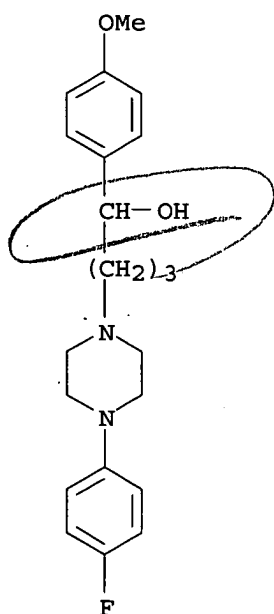
PI	US 2997472	1961
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PI	US 3000891	1961
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IT	857-96-5	32955-52-5	32955-53-6
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RN 857-96-5 CAOLD

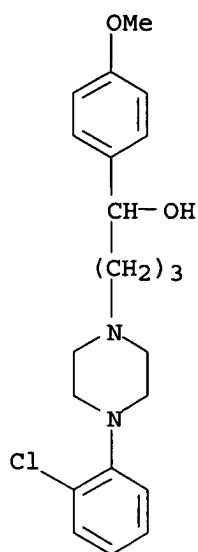
CN 1-Piperazinebutanol, 4-(p-fluorophenyl)- α -(p-methoxyphenyl)- (7CI, 8CI) (CA INDEX NAME)



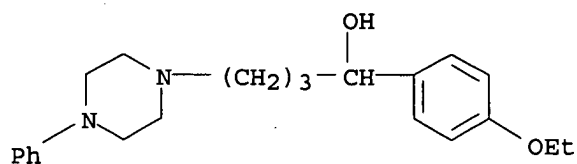
RN 32955-52-5 CAOLD

CN 1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI, 7CI, 8CI) (CA INDEX NAME)

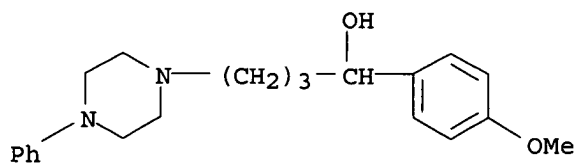
10/608073



RN 32955-53-6 CAOLD
CN 1-Piperazinebutanol, α -(p-ethoxyphenyl)-4-phenyl- (6CI, 7CI, 8CI)
(CA INDEX NAME)

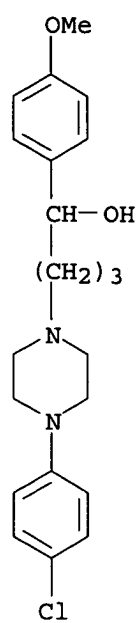


RN 94999-32-3 CAOLD
CN 1-Piperazinebutanol, α -(p-methoxyphenyl)-4-phenyl- (6CI, 7CI) (CA
INDEX NAME)



RN 95698-57-0 CAOLD
CN 1-Piperazinebutanol, 4-(p-chlorophenyl)- α -(p-methoxyphenyl)- (7CI)
(CA INDEX NAME)

10/608073



10/608073

L16 ANSWER 9 OF 9 CAOLD COPYRIGHT 2004 ACS on STN

AN CA55:5549c CAOLD

TI 1-arylalkyl-4-arylpiperazines

AU Janssen, Paul A. J.

DT Patent

PATENT NO.	KIND	DATE
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PI	BE 589092	
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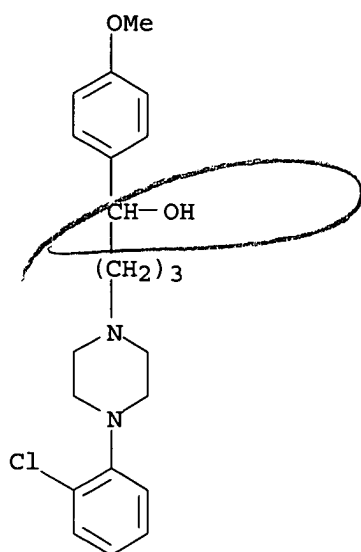
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IT	32955-52-5	32955-53-6	94999-32-3
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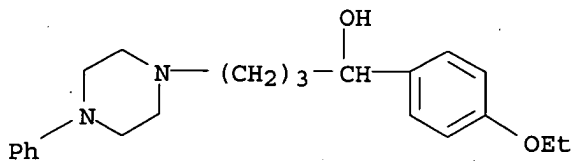
RN	32955-52-5	CAOLD
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CN	1-Piperazinebutanol, 4-(o-chlorophenyl)- α -(p-methoxyphenyl)- (6CI, 7CI, 8CI)	(CA INDEX NAME)
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RN	32955-53-6	CAOLD
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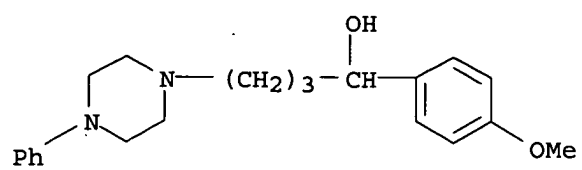
CN	1-Piperazinebutanol, α -(p-ethoxyphenyl)-4-phenyl- (6CI, 7CI, 8CI)	(CA INDEX NAME)
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RN	94999-32-3	CAOLD
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CN	1-Piperazinebutanol, α -(p-methoxyphenyl)-4-phenyl- (6CI, 7CI)	(CA INDEX NAME)
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10/608073



10/608073

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
25.41	546.16

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-20.79

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 13:55:03 ON 30 JUN 2004